

10/735179

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STRUCTURE FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5  
DICTIONARY FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

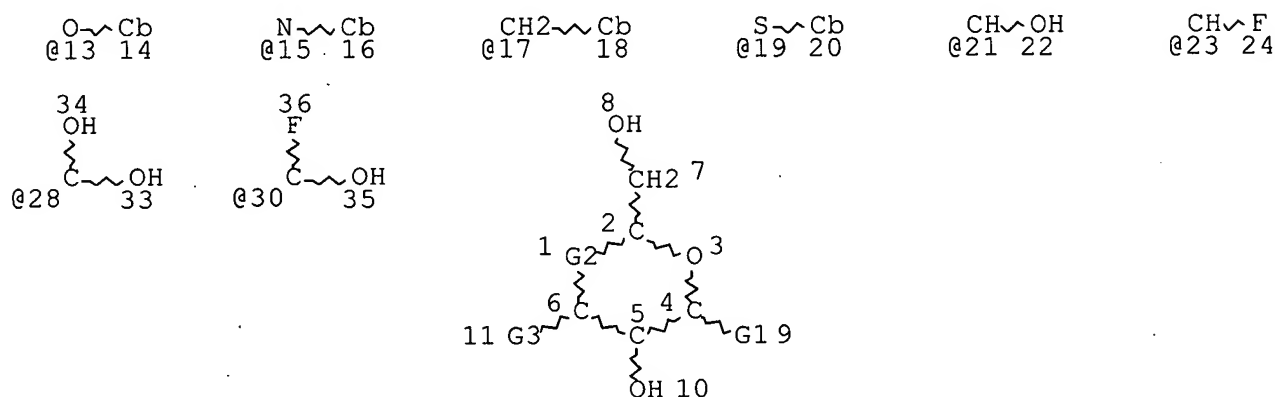
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

L1

STR



VAR G1=CB/13/15/17/19  
VAR G2=CH2/CF2/21/23/28/30  
VAR G3=OH/F  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

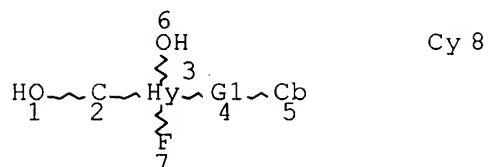
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L2 ( 12148)SEA FILE=REGISTRY SSS FUL L1

L3

STR



A = G1 = O/N/C/S  
 At least one (1) R1, R2 or R3 = F  
 Cyc1/Cyc2 = Polycyclic (Node 8)

VAR G1=O/N/S/C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY UNS AT 5

GGCAT IS PCY AT 8

DEFAULT ECLEVEL IS LIMITED

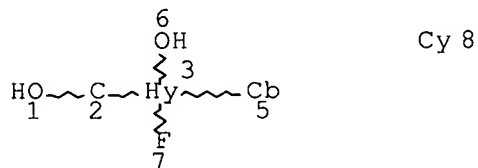
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L4 STR



A = Bond  
 At least one (1) R1, R2 or R3 = F  
 Cyc1/Cyc2 = Polycyclic (Node 8)

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY UNS AT 5

GGCAT IS PCY AT 8

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

L5 3 SEA FILE=REGISTRY SUB=L2 SSS FUL (L3 OR L4)

100.0% PROCESSED 401 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FILE 'HCAPLUS' ENTERED AT 14:45:05 ON 18 JUN 2007

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FILE COVERS 1907 - 18 Jun 2007 VOL 146 ISS 26  
FILE LAST UPDATED: 17 Jun 2007 (20070617/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

L6 1 L5

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

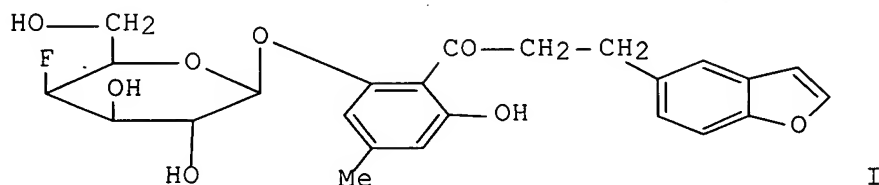
ACCESSION NUMBER: 2004:515521 HCAPLUS Full-text  
DOCUMENT NUMBER: 141:38810  
TITLE: Synthesis of aromatic fluoroglycoside derivatives  
for use as antidiabetic agents  
INVENTOR(S): Frick, Wendelin; Glombik, Heiner; Kramer, Werner;  
Heuer, Hubert; Brummerhop, Harm; Plettenburg,  
Oliver  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
SOURCE: PCT Int. Appl., 89 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004052902	A1	20040624	WO 2003-EP13454	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,				
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,				
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,				
KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,				
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,				
SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN,				
YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,				
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SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,				
MR, NE, SN, TD, TG				
DE 10258007	A1	20040902	DE 2002-10258007	20021212
DE 10258007	B4	20060209		
CA 2508024	A1	20040624	CA 2003-2508024	20031128
AU 2003298149	A1	20040630	AU 2003-298149	20031128
EP 1572707	A1	20050914	EP 2003-795853	20031128

10/735179

EP 1572707	B1	20060329		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,				
PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016605	A	20051011	BR 2003-16605	20031128
CN 1723212	A	20060118	CN 2003-80105711	20031128
JP 2006510643	T	20060330	JP 2004-557952	20031128
AT 321769	T	20060415	AT 2003-795853	20031128
PT 1572707	T	20060630	PT 2003-795853	20031128
ES 2259777	T3	20061016	ES 2003-3795853	20031128
NZ 540694	A	20070223	NZ 2003-540694	20031128
US 2005014704	A1	20050120	US 2003-735179	20031212
NO 2005003212	A	20050804	NO 2005-3212	20050630
PRIORITY APPLN. INFO.:			DE 2002-10258007	A 20021212
			US 2003-466329P	P 20030429
			WO 2003-EP13454	W 20031128

OTHER SOURCE(S): MARPAT 141:38810.  
GI



AB The invention relates to substituted aromatic fluoroglycoside derivs., e.g., (I), to their physiol. tolerated salts, and methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro-α-D-galactopyranosyl bromide was reacted with 3-benzofuran-5-yl-1-(2,6-dihydroxy-4-methylphenyl)propan-1-one and the product deacetylated to give I. In in vitro tests measuring the uptake of <sup>14</sup>C-labeled glucose using rabbit brush-border membrane vesicles, I had IC<sub>50</sub> 0.4 μM, compared with 16 μM for Phlorizin control.

IT 701936-24-5P 701936-47-2P

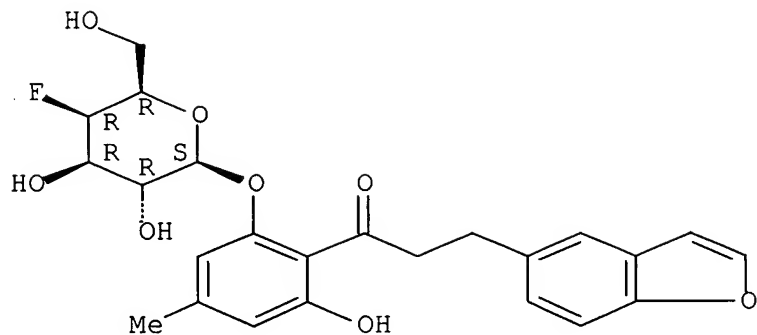
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic fluoroglycoside derivs. for use as antidiabetic agents)

RN 701936-24-5 HCAPLUS

CN 1-Propanone, 3-(5-benzofuranyl)-1-[2-[(4-deoxy-4-fluoro-β-D-galactopyranosyl)oxy]-6-hydroxy-4-methylphenyl]- (9CI) (CA INDEX NAME)

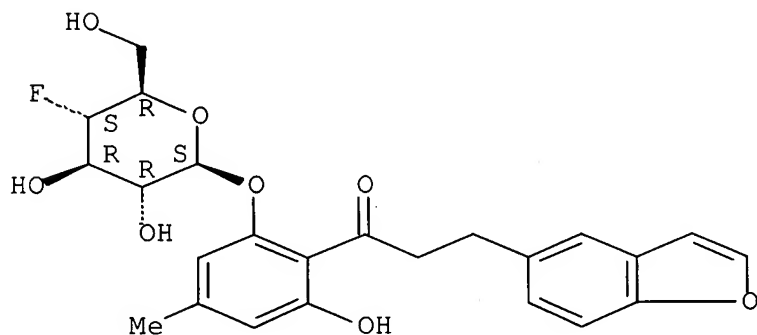
Absolute stereochemistry.



RN 701936-47-2 HCAPLUS

CN 1-Propanone, 3-(5-benzofuranyl)-1-[2-[(4-deoxy-4-fluoro- $\beta$ -D-glucopyranosyl)oxy]-6-hydroxy-4-methylphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 701936-48-3P

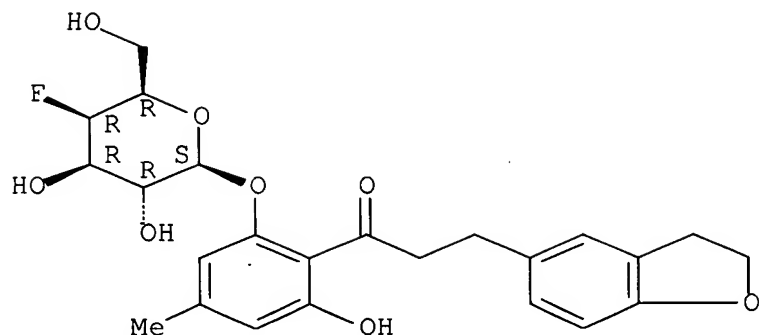
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic fluoroglycoside derivs. for use as antidiabetic agents)

RN 701936-48-3 HCAPLUS

CN 1-Propanone, 1-[2-[(4-deoxy-4-fluoro- $\beta$ -D-galactopyranosyl)oxy]-6-hydroxy-4-methylphenyl]-3-(2,3-dihydro-5-benzofuranyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



FILE 'CAOLD' ENTERED AT 14:45:19 ON 18 JUN 2007  
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FILE COVERS 1907-1966  
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L7                    0 L5

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L8                    0 L5

FILE 'MARPAT' ENTERED AT 14:45:33 ON 18 JUN 2007  
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FILE CONTENT: 1961-PRESENT VOL 146 ISS 25 (20070615/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

10/735179

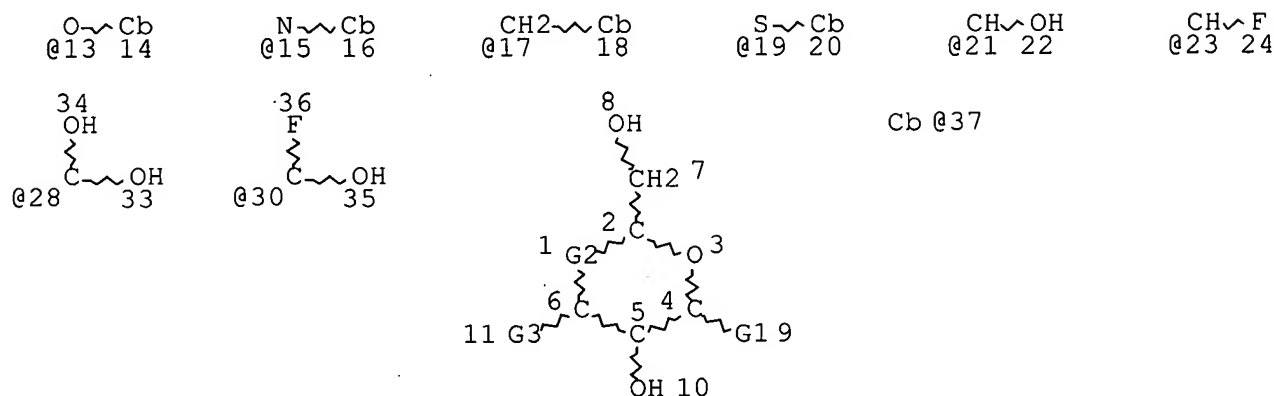
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007100186 03 MAY 2007  
DE 102005052275 03 MAY 2007  
EP 1784057 09 MAY 2007  
JP 2007115699 10 MAY 2007  
WO 2007051410 10 MAY 2007  
GB 2431654 02 MAY 2007  
FR 2892418 27 APR 2007  
RU 2298555 10 MAY 2007  
CA 2522632 06 APR 2007

Expanded G-group definition display now available.

L9

STR



VAR G1=13/15/17/19/37

VAR G2=CH2/CF2/21/23/28/30

VAR G3=OH/F

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 14 16 18 20 37

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE

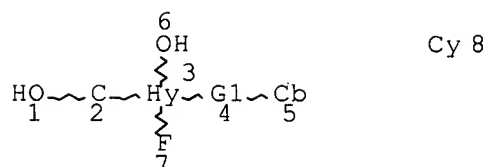
ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L10 ( 788)SEA FILE=MARPAT SSS FUL L9 (MODIFIED ATTRIBUTES)

L11 STR



VAR G1=O/N/S/C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 3 5 8

GGCAT IS MCY UNS AT 5

GGCAT IS PCY AT 8

DEFAULT ECLEVEL IS LIMITED

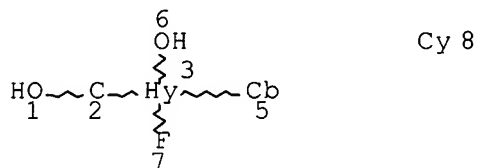
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L12 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 3 5 8

GGCAT IS MCY UNS AT 5

GGCAT IS PCY AT 8

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L13 ( 37)SEA FILE=MARPAT SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L14 ( 34)SEA FILE=MARPAT SUB=L10 SSS FUL L12 (MODIFIED ATTRIBUTES)



10/735179

L15 46 SEA FILE=MARPAT ABB=ON PLU=ON L13 OR L14

FILE 'HCAPLUS' ENTERED AT 14:46:09 ON 18 JUN 2007

L16 46 S L15

L17 45 S L16 NOT L6

FILE 'MARPAT' ENTERED AT 14:46:27 ON 18 JUN 2007

L18 45 S L17

L18 ANSWER 1 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 146:317154 MARPAT Full-text

TITLE: Preparation of hetero-bifunctional  
pseudo-oligosaccharides as pan-selectin inhibitors  
and antiinflammatory agents

INVENTOR(S): Magnani, John L.; Patton, John T., Jr.; Sarkar,  
Arun K.; Svarovsky, Sergei A.; Ernst, Beat

PATENT ASSIGNEE(S): Glycomimetics, Inc., USA

SOURCE: PCT Int. Appl., 94pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007028050	A1	20070308	WO 2006-US34274	20060901

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,  
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA,  
MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2007054870	A1	20070308	US 2006-515343	20060901
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PRIORITY APPLN. INFO.:

US 2005-713994P 20050902

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Hetero-bifunctional pseudo-oligosaccharidesI, wherein R1 is  
aminocarbonyl, substituted tetrazole; R2 is C(O)OX; X is alkyl,  
alkenyl, alkynyl, amid; R3 is OH, substituted triazole and tetrazole,  
heterocycle, aminocarbonyl, R4 is substituted uronic acid; R5 is H, or  
R4 and R5 are taken together to form heterocycle; R6 is H, fucose,  
mannose, arabinose, galactose, polyols; were prepared for modulating

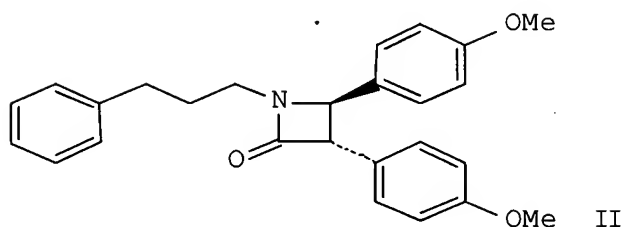
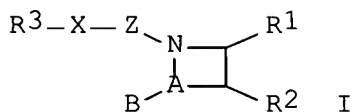
in vitro and in vivo processes mediated by selectin binding. More specifically, selectin modulators and their use are described, wherein the selectin modulators that modulate ( e.g., inhibit or enhance) a selectin-mediated function comprise particular glycomimetics alone or linked to a member of a class of compds. termed BASAs (benzyl amino sulfonic acids) or a member of a class of compds. termed BACAs (benzyl amino carboxylic acids). Thus, title oligosaccharide II was prepared and tested in mice as pan-selectin inhibitors and antiinflammatory agent. A method of inhibiting rejection of transplanted tissue is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 146:206141 MARPAT Full-text  
 TITLE: Preparation of azetidinone compounds as hypocholesterolemic agents  
 INVENTOR(S): Pfeifferkorn, Jeffrey Allen; Trivedi, Bharat Kalidas  
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
 SOURCE: PCT Int. Appl., 60pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015161	A1	20070208	WO 2006-IB2130	20060720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-704487P 20050801  
 GI



AB Title compds. I [A-B = C:O, C:S, SO, or SO<sub>2</sub>; X = C1-C3 alkylene optionally containing a double or triple bond, or C1-C3 heteroalkylene (wherein C1-C3 alkylene or C1-C3 heteroalkylene is unsubstituted or substituted on carbon atoms with 0,1 or 2 substituents selected from C1-C6 alkyl, :O, aryl, etc.); Z = C1-C2 alkylene optionally substituted with 0, 1 or 2 substituents selected from C1-C6 alkyl, :O, halo, etc.; R<sub>1</sub> = aryl or heteroaryl optionally substituted with one to three substituents selected from halo, C1-C20 alkyl, C1-C6 aralkyl, etc.; R<sub>2</sub> = C1-C6 alkyl, C3-C6 cycloalkyl, C3-C6 heterocycloalkyl, etc.; R<sub>3</sub> = C3-C6 cycloalkyl, C3-C6 heterocycloalkyl, aryl, etc.], pharmaceutically acceptable salts, esters, hydrates, amides, or stereoisomers thereof were prepared For example, reaction of p-anisaldehyde with 3-phenylpropylamine followed by [2+2] cyclo-addition with 4-methoxyphenylacetyl chloride and separation using preparative chiral HPLC afforded compound II. Compds. of the invention reduced the elevation in plasma cholesterol by 50% at doses of between about 30 and about 100 mg/kg. Of note, compds. I are useful for the treatment of atherosclerosis.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 145:465688 MARPAT Full-text

TITLE: Preparation of 1,4-diphenyl-3-hydroxyalkyl-2-azetidinone derivatives for treating hypercholesterolemia

INVENTOR(S): Martinez, Eduardo; Talley, John J.; Zimmer, Daniel P.

PATENT ASSIGNEE(S): Microbia, Inc., USA

SOURCE: PCT Int. Appl., 259pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

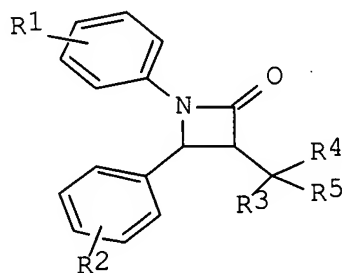
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 WO 2006122186      A2      20061116      WO 2006-US18076      20060510  
 WO 2006122186      A3      20070301

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 RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT,  
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 IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,  
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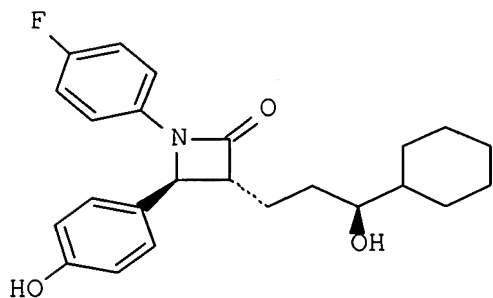
PRIORITY APPLN. INFO.:

US 2005-679326P      20050510

GI



I



II

AB 1,4-Diphenyl-3-hydroxyalkyl-2-azetidinones I, wherein R1 and R2 independently represent 1-5 residues chosen independently from H, halogen, OH, O-alkyl, alkyl, OCF<sub>2</sub>H, OCF<sub>3</sub>, CF<sub>2</sub>H, CH<sub>2</sub>F, methylenedioxy, ethylenedioxy, CN, CF<sub>3</sub>, NO<sub>2</sub>, SH, S-alkyl, amino, alkylamino, aminosulfonyl, alkylamiosulfonyl, alkylsulfonyl, acyl, carboxy, alkoxy carbonyl, carboxyalkyl, carboxamido, alkylsulfoxide, acylamino, amidino, Ph, Bn, PhO, BnO, phosphate, SO<sub>3</sub>H, B(OH)<sub>2</sub>, glucuronide, carbamate, substituted aryl; R3 is substituted hydroxyalkyl, saturated substituted hydrocarbon; R4 and R5 are independently H, alkyl; were prepared (no data) and useful for the treatment of hypercholesterolemia and related disorders. A method of prevention or treatment of a cholesterol-associated tumor selected from the group consisting of benign prostatic hypertrophy, benign breast tumor,

benign endometrial tumor, and benign colon tumor, was claimed. A method for reducing the blood plasma or serum concns. of LDL cholesterol in a mammal, was claimed.

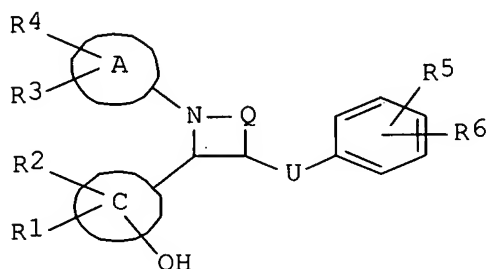
L18 ANSWER 4 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 145:369884 MARPAT Full-text  
 TITLE: Diphenylheterocycles as cholesterol absorption inhibitors  
 INVENTOR(S): Talley, John; Martinez, Eduardo; Zimmer, Daniel; Lundrigan-Soucy, Regina  
 PATENT ASSIGNEE(S): Microbia, Inc., USA  
 SOURCE: PCT Int. Appl., 361pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006102674	A2	20060928	WO 2006-US11197	20060324
WO 2006102674	A3	20061214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-664863P 20050324  
 GI



I

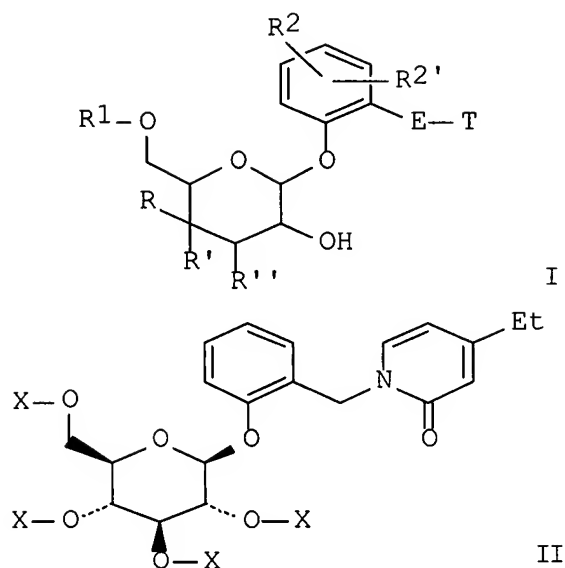
AB Title compds. e.g. [I; A, B = aryl, heteroaryl; Q = SO<sub>2</sub>, C:S; U = C2-6 alkylene in which ≥1 CH<sub>2</sub> may be replaced by S, SO, SO<sub>2</sub>, O, CO, CH(OH), NH, CHF, CF<sub>2</sub>, etc.; R1-R6 = H, F, Cl, Br, iodo, OH, CF<sub>3</sub>, NO<sub>2</sub>, N<sub>3</sub>,

cyano, CO<sub>2</sub>H, PO<sub>3</sub>H<sub>2</sub>, SO<sub>3</sub>H, CONH<sub>2</sub>, alkoxy carbonyl, (substituted) alkyl, alkenyl, alkynyl, etc.], were claimed for treatment of hypercholesterolemia, vascular inflammation, Alzheimer's disease, etc. (no data).

L18 ANSWER 5 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 145:45943 MARPAT Full-text  
 TITLE: Preparation of phenyl- $\beta$ -D-glucopyranosides as antidiabetic agents  
 INVENTOR(S): Mederski, Werner; Van Amsterdam, Christoph; Burger, Christa; Greiner, Hartmut  
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006058597	A1	20060608	WO 2005-EP11875	20051107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
DE 102004058449	A1	20060614	DE 2004-102004058449	20041203
PRIORITY APPLN. INFO.:			DE 2004-102004058449	20041203

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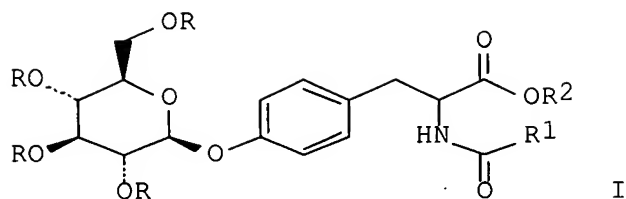


AB Title compds. I [T = heterocycle with 1-3 N or O atoms with provisos; E = (CH<sub>2</sub>)<sub>n</sub>; R, R' = OH, H, F, etc.; R'' = OH, F; R<sub>1</sub> = H, COOA; R<sub>2</sub>, R<sub>2</sub>' = H, halo, A, etc.; A = alkyl with provisos; n = 1-2] and their pharmaceutically acceptable salts and formulations were prepared For example, hydrolysis of tetraacetate II (X = COCH<sub>3</sub>) afforded phenylglucopyranoside II (X = H) in 72% yield. Compds. I are claimed to be useful as antidiabetic agents.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 145:8460 . MARPAT Full-text  
 TITLE: Preparation of tyrosine glucosides  
 INVENTOR(S): Kadota, Hidetoshi  
 PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006131587	A	20060525	JP 2004-325013	20041109
PRIORITY APPLN. INFO.:			JP 2004-325013	20041109
GI				



AB The glucosides I [R = H; R1 = H, (un)substituted C1-30 alkyl, (un)substituted aralkyl, (un)substituted Ph, (un)substituted heterocyclyl; R2 = (un)substituted C1-10 alkyl, (un)substituted aralkyl, (un)substituted phenyl], useful as materials for drugs, agrochemicals, cosmetics, etc., are prepared by (1) reacting 4-HOC6H4CH2CH(NH2)CO2R2 (R2 = same as above) with R1COX (R1 = same as above; X = halo, OCOR1) in the presence of bases, (2) reacting the resulting 4-HOC6H4CH2CH(NHCOR1)CO2R2 (R1, R2 = same as above) with pentaacetylglucose in the presence of acids, and (3) deacetylating the resulting I (R = Ac; R1, R2 = same as above). Thus, O-β-D-glucopyranosyl-L-tyrosine(II) is prepared by hydrolyzing I (R = H; R1 = OCH2Ph; R2 = any group given above) and hydrogenolyzing the resulting N-carbobenzoxy-O-β-D-glucopyranosyl-L-tyrosine. Thus, II (preparation given) inhibited growth of *Pellicularia sasakii*, *Botrytis cinerea*, *Alternaria mali*, and *Fusarium oxysporum cucumerinum*.

L18 ANSWER 7 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:350925 MARPAT Full-text

TITLE: Preparation of monosaccharide sulfenamides and sulfenamide oxides as antibacterial agents

INVENTOR(S): Von Itzstein, Laurence Mark; Coppel, Ross Leon; Davis, Christopher Bonner; Thomson, Robyn Joy; Hartnell, Regan David; Owen, David James

PATENT ASSIGNEE(S): Griffith University, Australia; Monash University

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006037185	A1	20060413	WO 2005-AU1548	20051007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,				

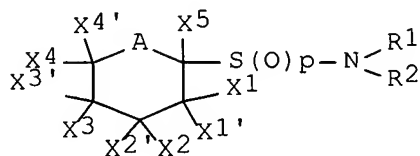


BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

AU 2004-905781 20041007

GI



I

AB Monosaccharide sulfenamides and sulfenamide oxides I, wherein R1 and R2 are independently H, alkyl; R1 and R2 are together with the N atom from which they are attached form heterocycle; A is O, S, SO, SO<sub>2</sub>, Se, Te, N(O), C(O), substituted N, substituted carbon; X1-X4 are independently H, halogen, substituted O, substituted N, substituted SN<sub>3</sub>, CN, OCN, SCN, sulfate, sulfite, phosphate, sulfonyl, sulfoxide; X5 is H, CN, alkyl, alkaryl, aryl, aralkyl, acyl, sulfonyl, sulfite, sulfate, phosphate; X'-X4' are independently H, CN, alkyl, alkaryl, aryl, aralkyl, acyl; p is 0-2; were prepared as antibacterial agents. Thus, N,N-dioctyl-S-(2,3,5,6-tetra-O-acetyl-β-D-galactopyranosyl)sulfenamide was prepared and tested in vitro as antibacterial agent (MIC = 2-16 µg/mL).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:350922 MARPAT Full-text

TITLE: Preparation of glucopyranosyl-substituted phenyl derivatives antidiabetic agents and SGLT2 inhibitors

INVENTOR(S): Eckhardt, Matthias; Himmelsbach, Frank; Eickelmann, Peter; Thomas, Leo; Barsoumian, Edward Leon

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: U.S. Pat. Appl. Publ., 29 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

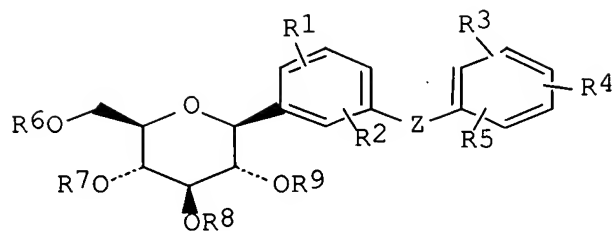
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2006074031	A1	20060406	US 2005-239917	20050930

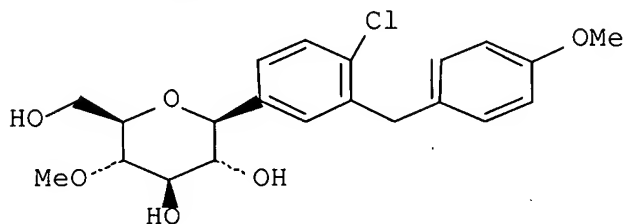
PRIORITY APPLN. INFO.:

US 2005-239917 20050930

GI



I



II

AB Glucopyranosyl-substituted benzene derivs. I, wherein R1 is alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, alkylcarbonyl, alkylaminocarbonyl; R2 is H, F, Cl, Br, OH, alkyl, alkoxy, CN, NO<sub>2</sub>; R3 is alkyl-silyl-alkyl, alkynyl, alkenyl, amino, alkylamino, heterocycle; R4 and R5 are independently H, F, Cl, Br, iodine, CN, NO<sub>2</sub>, alkyl, alkoxy, Me, OMe; R6-R9 are independently H, alkylcarbonyl, alkoxy carbonyl, arylcarbonyl, aryl-alkyl-carbonyl; Z is oxygen, methylene, dimethylmethylene, 1,1-cyclopropylene, difluoromethylene or carbonyl were prepared as antidiabetic agents and SGLT2 inhibitors. The compds. according to the invention are suitable for the treatment of metabolic disorders, wherein the metabolic disorder is selected from the group consisting of type 1 and type 2 diabetes mellitus, complications of diabetes, metabolic acidosis or ketosis, reactive hypoglycemia, hyper-insulinemia, glucose metabolic disorder, insulin resistance, metabolic syndrome, dyslipidemia of different origins, atherosclerosis and related diseases, obesity, high blood pressure, chronic heart failure, edema and hyperuricemia. Compds. which have an inhibitory effect on the sodium-dependent glucose co-transporter SGLT2 are proposed for the treatment of diseases, particularly diabetes. Thus II was prepared and tested as antidiabetic agent and SGLT2 inhibitor.

L18 ANSWER 9 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:350921 MARPAT Full-text

TITLE: Synthesis of substituted Ph C-glycosides for use as SGLT inhibitors for treatment of metabolic disorders

INVENTOR(S): Eckhardt, Matthias; Himmelsbach, Frank;  
Eickelmann, Peter; Thomas, Leo; Barsoumian, Edward  
Leon

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,

SOURCE: Germany  
 Ger. Offen., 38 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

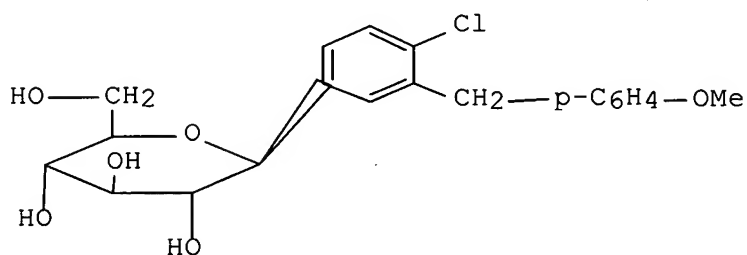
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004048388	A1	20060406	DE 2004-10200404838820041001	
CA 2574500	A1	20060413	CA 2005-2574500	20050928
WO 2006037537	A2	20060413	WO 2005-EP10488	20050928
WO 2006037537	A8	20060608		
WO 2006037537	A3	20070308		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2004-10200404838820041001  
 WO 2005-EP10488 20050928

GI



AB The invention relates to substituted Ph D-gluco-, -galacto-, or 4-deoxy-xylo-pyranoside C glycosides (e.g., (I)), which have an inhibitory effect upon the sodium-dependent glucose co-transporter (SGLT), and medicaments containing them for treatment of metabolic diseases (no data). Thus, 5-bromo-2-chloro-benzoic acid was reacted with anisol to give (5-bromo-2-chlorophenyl)-(4-methoxyphenyl)methanone, which was hydrogenated and reacted with 2,3,4,6-tetrakis-O-(trimethylsilyl)- $\delta$ -glucopyranone, and the resulting 1-methoxy-1-(substituted phenyl) protected glycoside de-methoxylated

and deprotected to give I. Formulations for administration as tablets, hard gelatine capsules, suppositories, or ampules are given.

L18 ANSWER 10 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:171191 MARPAT Full-text

TITLE: Preparation of 1-(1-naphthyl)-1,5-anhydroglucitol derivatives, prodrugs thereof and salts thereof, and therapeutic agents containing them for diabetes

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu; Nishimoto, Masahiro; Kato, Yasuharu; Sakaitani, Masahiro; Lee, Sang-Hak

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

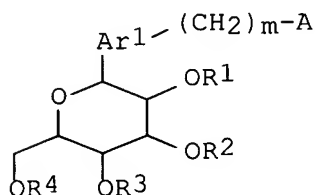
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006011502	A1	20060202	WO 2005-JP13716	20050727
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005265715	A1	20060202	AU 2005-265715	20050727
CA 2574608	A1	20060202	CA 2005-2574608	20050727
PRIORITY APPLN. INFO.:			JP 2004-218895	20040727
			JP 2004-343942	20041129
			WO 2005-JP13716	20050727

GI



I

AB The title compds. (I) [wherein m = an integer of 1-3; R1-R4 = H, CORx, each (un)substituted C1-6 alkyl or C7-14 aralkyl; Rx = each (un)substituted C1-6 alkyl, aryl, heteroaryl, C1-6 alkoxy, or NH2; Ar1 = (un)substituted naphthyl; A = (un)substituted heteroaryl optionally fused to aromatic hydrocarbon or aromatic heterocyclic ring], prodrugs thereof, or pharmaceutically acceptable salts of either are prepared. These compds. have the function of reducing a blood sugar level and have preferable properties required of medicines, such as long-lasting drug activity and are useful in the prevention or treatment of diseases attributable to hyperglycemia, such as diabetes including insulin-dependent diabetes (type I diabetes) and insulin-independent diabetes (type II diabetes), complications of diabetes, and obesity. Thus, a solution of 0.81 g 2-[(4-bromonaphthalen-2-yl)methyl]benzo[b]thiophene in 15 mL dry THF was treated dropwise with BuLi/hexane (1.6 M, 1.58 mL) at -78° over 5 min, stirred at -78° for 5 min, treated dropwise with a solution of 1.36 g (3R,4S,5R,6R)-3,4,5-Tris-benzyloxy-6-benzyloxymethyltetrahydropyran-2-ol in 10 mL dry THF at -78°, stirred at -78° for 2 h to give, after workup and silica gel flash chromatog., 75% (3R,4S,5S,6R)-2-[3-(Benzo[b]thiophen-2-ylmethyl)naphthalen-1-yl]-3,4,5-trisbenzyloxy-6-benzyloxymethyltetrahydropyran-2-ol (II). A solution of 1.4 g II in CH2Cl2 was treated dropwise with 0.34 mL triethylsilane and 0.24 mL BF3.OEt2 at 0° and stirred at room temperature for 2 h to give, after workup and silica gel chromatog., 80.2% (2S,3R,4R,5S,6R)-2-[3-(Benzo[b]thiophen-2-ylmethyl)naphthalen-1-yl]-3,4,5-trisbenzyloxy-6-benzyloxymethyltetrahydropyran (III). A solution of 1.1 g III in 30 mL CH2Cl2 was treated dropwise with 3.5 mL di-Me sulfide and 1.75 mL BF3.OEt2 at 0° and stirred at room temperature for 3 days to give, after workup and silica gel flash chromatog., 58.2% (2S,3R,4R,5S,6R)-2-[3-(Benzo[b]thiophen-2-ylmethyl)naphthalen-1-yl]-6-hydroxymethyltetrahydropyran-3,4,5-triol (IV). IV in vitro human Na+-glucose transporter (SGLT2, sodium-dependent glucose transporter 2) with IC50 of 18 nM.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:171190 MARPAT Full-text

TITLE: Synthesis of carbo- or heterocycle-substituted phenyl D-glucopyranosyl C-glycosides for use as sodium-dependent glucose-cotransporter inhibitors in the treatment of disease

INVENTOR(S): Eckhardt, Matthias; Himmelsbach, Frank; Eickelmann, Peter; Thomas, Leo; Barsoumian, Edward Leon

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

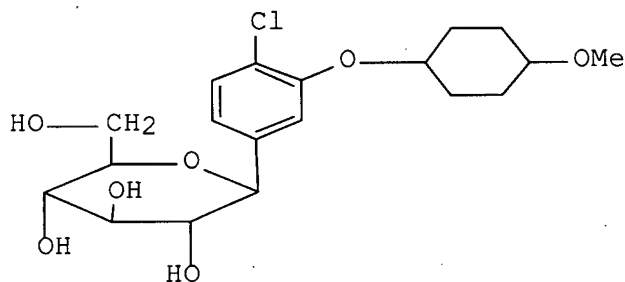
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010557	A1	20060202	WO 2005-EP7956	20050721
WO 2006010557	A8	20060921		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 102004036314	A1	20060323	DE 2004-10200403631420040727	
DE 102004046012	A1	20060323	DE 2004-10200404601220040921	
CA 2574451	A1	20060202	CA 2005-2574451	20050721
EP 1773800	A1	20070418	EP 2005-775126	20050721
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 2006025349	A1	20060202	US 2005-190315	20050727
PRIORITY APPLN. INFO.:			DE 2004-10200403631420040727	
			DE 2004-10200404601220040921	
			WO 2005-EP7956	20050721

GI



I

AB The invention relates to substituted Ph D-glucopyranosyl C glycosides (e.g., I), which have an inhibitory effect upon the sodium-dependent glucose co-transporter (SGLT), and medicaments containing them for treatment of metabolic diseases (no data). Synthesis of substituted Ph moieties is given, starting from 5-bromo-2-chloro-anisole. Thus, D-glucono-1,5-lactone is protected as the tetra-O-(trimethylsilyl) derivative, and reacted with 1-bromo-4-chloro-3-substituted benzene; the trimethylsilyl groups are cleaved and the compound is reprotected as the tetraacetate, if further chemical manipulation is needed.

Alternately, the 3-Ph substitution may be a triisopropoxy group, which may be desilylated and reacted with, e.g., 4-methoxycyclohexanol, to give, after deacylation, I. Formulations for administration of title compds. as tablets, capsules, suppositories, and ampoules are given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:108546 MARPAT Full-text

TITLE: Preparation of monosaccharides and disaccharides simmondsin analogs as antitumor agents and angiogenesis inhibitors in study of drug discovery

INVENTOR(S): Van der Eycken, Johan

PATENT ASSIGNEE(S): Universiteit Gent, Belg.

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

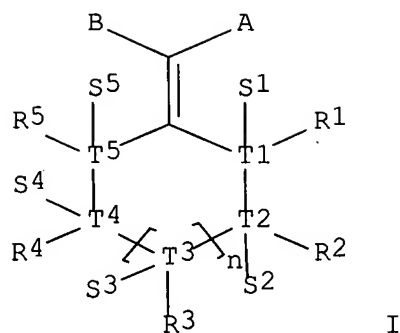
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1616874	A1	20060118	EP 2004-447176	20040714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
WO 2006005142	A2	20060119	WO 2005-BE114	20050713
WO 2006005142	A3	20060824		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2004-447176 20040714

GI



AB Compds. having the general formula I-d-L-e-Y were claimed, wherein A and B are independently H, CN, halogen, N<sub>3</sub>, substituted oxime, imine, carboxylate, amide, alkyl, haloalkyl, cycloalkyl, acyloalkenyl, alkenyl, alkynyl, aryl, arylalkyl, alkoxyaryl, heterocycle, alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, aryloxy, acyloxy, oxy-heterocycle, alkylthio, cycloalkylthio, acylthio, thio-heterocycle, alkylamino, heterocyclic amino, hydroxyalkylamino, mercaptoalkylamino, alkynylamino, alkynylamino, acylamino, thioacylamino; A and B together form homo-cyclic or heterocyclic; T1-T5 are independently C, O, N; R1-R5 are independently H, CN, halogen, N<sub>3</sub>, OH, amino, carboxyl, alkyl, haloalkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, cycloalkenyloxy, aryloxy, substituted amino, substituted thio; S1-S5 are independently H, CN, halogen, carboxyl, alkyl, haloalkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, aryloxy, acyloxy, oxy-heterocycle, substituted thio; n is 0-2; d represents a moiety for the attachment of X and L, which replaces any one of the substituents R1-R5 and S1-S5; L is a linker consisting of a covalent bond, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, heteroalkyl, cyclo-heteroalkyl, aryl, heteroaryl, arylalkyl, and heteroarylalkyl; e represents a moiety for the attachment of Y and L; Y is substituted heterocycle. This invention relates to the preparation of biol. active sugars such as monosaccharides and disaccharides having some degree of structural similarity with the simmondsin scaffold (no data). Compds. of the invention and tangeritin, a com. known angiogenesis inhibitor, are compared in their angiogenesis-inhibiting activity in vitro towards VEGF (Vascular Endothelial Growth Factor) stimulated angiogenesis (no data). Compds. of the invention are able to: (i) inhibit VEGF- and basic fibroblast growth factor-induced human endothelial cells proliferation, [ii] inhibit VEGF-induced in vitro tube formation by human micro-vascular endothelial cells in 3-dimensional fibrin matrixes, (iii) inhibit the ex vivo outgrowth of tube-like structures of endothelial cells from fetal mouse metacarpal, and (iv) inhibit in vivo neovascularization of matrigel chambers in mice (no data). The presence or absence of estrogen-like activity in the compds. of the invention is reported (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L18 ANSWER 13 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:51836 MARPAT Full-text

TITLE: Preparation of 1,4- and 1,5-anhydro-D-ketoses for use as synthons related to pharmaceutical, food and cosmetic industries

INVENTOR(S): Lundt, Inge; Stuetz, Arnold; Dekany, Gyula; Thiem, Joachim; Agoston, Karoly; Andreassen, Mikkel

PATENT ASSIGNEE(S): Glycom Aps, Den.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

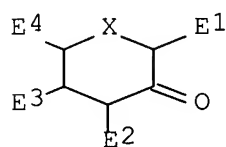
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005121114	A2	20051222	WO 2005-DK377	20050607
WO 2005121114	A3	20061012		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

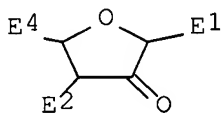
PRIORITY APPLN. INFO.: AU 2004-903036 20040607  
 DK 2004-1060 20040705  
 US 2004-588561P 20040716

OTHER SOURCE(S): CASREACT 144:51836

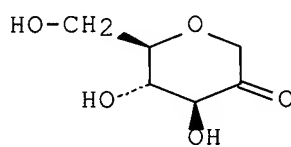
GI



I



II



III

AB 1,4- And 1,5-anhydro-D-ketoses, I and II, wherein X is O, S, (un)substituted amine, CH<sub>2</sub>, (un)substituted alkyl-hydroxy, alkylamino, alkylthio, carbonyl, sulfoxide and sulfone; E1 is hydrogen, alkyl, optionally heteroalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, optionally heteroaryl, acyl; E2 and E3 are independently selected from OH, mono- or multivalent metal oxide, alkoxy, carbonyl,

thione, SH, mono- or multivalent metal thiol, thioalkyl, N3, NH2, NH3+, (un)substituted amino, and halogen; E4 is hydrogen, Me, (un)substituted alkyl-hydroxy and alkyl-thiol, (un)substituted amine, carbonyl, sulfoxide, and halogen are prepared for use as synthons related to pharmaceutical, food and cosmetic industries. Thus, III, was prepared via catalytic- and/or pyrolytic sulfenic acid elimination of a corresponding  $\beta$ -hydroxy sulfoxide glycoside as a key step. The methodol. presented also includes N-deprotection of N-substituted amino-glycals, O-deprotection of carbohydrate enol-ethers and/or O-acyl-substituted carbohydrate enols, and regio- and stereoselective modification and subsequent chemical transformation of bicyclic and/or tricyclic 1,4- and 1,5-anhydro-glyco derivs. by extension. The compds. prepared can be used as an antioxidant, a radical scavenger, a sweetener, a non-caloric sweetener, a taste enhancing agent, a taste improving agent, an emulsifier, a water solubility enhancing agent, an antimicrobial agent, an antidiabetic agent, a glycosidase inhibitor, a food preserving agent, a feed preserving agent, a chelating agent, a starch deterioration inhibiting agent, a food color retaining or stabilizing agent, a water retaining agent, a moisturizer, a water-storing agent, a fragrance stabilizer, a taste stabilizer, a protein stabilizer, a moisture releasing agent, a bilayer forming agent, a micelle forming agent, a detergents, a bulking agent, a tenside, a surfactant, a functional food, and/or a non-caloric functional food additive (no data).

L18 ANSWER 14 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:32186 MARPAT Full-text  
 TITLE: New aminoglycoside compounds and derivatives thereof  
 INVENTOR(S): Nelson, Adam; Stockley, Peter  
 PATENT ASSIGNEE(S): University of Leeds, UK  
 SOURCE: PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116041	A2	20051208	WO 2005-GB2133	20050527
WO 2005116041	A3	20060824		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,

10/735179

GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2004-11948 20040528

AB New amino glycoside compds. or derivs. thereof having at least one sugar moiety and which comprise two or more cyclic structures capable of forming "charmed" structural features at physiol. pH, and which have binding affinities for RNA and protein structures and may be used as therapeutic or screening or diagnostic agents and the like. The compds. of the present invention are especially useful as antibiotics, antiviral agents and as agents for preventing premature stop codon arrest of protein synthesis. The invention further provides use of glycosides as probes in identifying regions of conformational space that are not populated by natural antibacterial or antiviral products and thus represent new targets for therapy.

L18 ANSWER 15 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:387313 MARPAT Full-text

TITLE: Preparation of glycosides as antidiabetic agents and having inhibitory activity against sodium-dependent transporter

INVENTOR(S): Nomura, Sumihiro; Kawanishi, Eiji; Ueta, Kiichiro

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: U.S. Pat. Appl. Publ., 123 pp., Cont.-in-part of Appl. No. PCT/JP04/011312.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

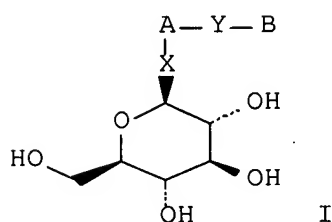
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005233988	A1	20051020	US 2005-45446	20050131
WO 2005012326	A1	20050210	WO 2004-JP11312	20040730
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2006080577	A1	20060803	WO 2006-JP301921	20060131
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
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US 2006217323	A1	20060928	US 2006-446014	20060602
US 2006229260	A1	20061012	US 2006-453728	20060615
US 2006234954	A1	20061019	US 2006-453727	20060615
US 2006293251	A1	20061228	US 2006-453726	20060615
PRIORITY APPLN. INFO.:			US 2003-491534P	20030801
			WO 2004-JP11312	20040730
			US 2003-491523P	20030801
			US 2003-519155P	20031112
			US 2003-519209P	20031112
			US 2003-519210P	20031112
			US 2003-519381P	20031112
			US 2004-579722P	20040615
			US 2004-579730P	20040615
			US 2004-579758P	20040615
			US 2004-579792P	20040615
			US 2004-903034	20040730
			US 2004-903136	20040730
			US 2004-903233	20040730
			US 2004-903234	20040730
			JP 2005-23728	20050131
			US 2005-45446	20050131
			US 2005-726653P	20051017

OTHER SOURCE(S): CASREACT 143:387313  
 GI



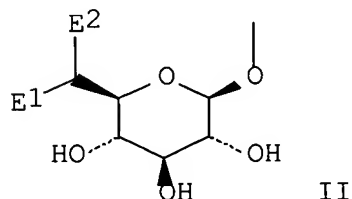
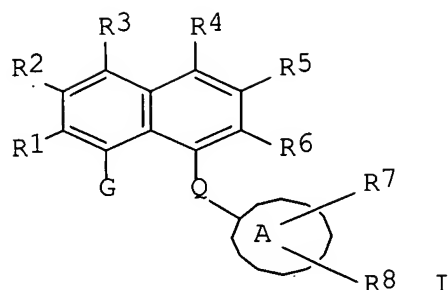
AB Glycosides I, wherein A and B are: (1) A is unsatd. monocyclic heterocyclic, and B is unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene, (2) A is benzene, and B is unsatd. monocyclic heterocyclic or unsatd. fused hetero-bicyclic, or (3) A is unsatd. fused hetero-bicyclic, and B are independently unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene; X is a carbon atom or a nitrogen atom; Y is  $-(CH_2)_n-$  (n is 1 or 2); a pharmaceutically acceptable salt thereof, or a prodrug thereof. A method is claimed for treating or delaying the progression or onset of diabetes mellitus, diabetic retinopathy, diabetic neuropathy, diabetic nephropathy, delayed wound healing, insulin resistance, hyperglycemia, hyper-insulinemia, elevated blood levels of fatty acids, elevated

blood levels of glycerol, hyperlipidemia, obesity, hypertriglyceridemia, Syndrome X, diabetic complications, atherosclerosis, or hypertension. The pharmaceutical compns. may be orally administered to mammalian species including human beings, apes, dogs, etc., for example, in the dosage form of tablet, capsule, granule or powder, or administered in the form of injection preparation, or intra-nasally, or in the form of transdermal patch. Thus, 1-( $\beta$ -D-glucopyranosyl)-4-chloro-3-(6-ethyl-benzo[b]thiophen-2-yl-methyl)benzene was prepared as antidiabetic agent and having inhibitory activity against sodium-dependent transporter.

L18 ANSWER 16 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 143:367527 MARPAT Full-text  
 TITLE: Preparation of glucopyranoside compounds containing naphthalene moiety as SGLT inhibitors  
 INVENTOR(S): Fujikura, Hideki; Fushimi, Nobuhiko; Isaji, Masayuki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095372	A1	20051013	WO 2005-JP6696	20050330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2004-101894 20040331  
 GI.



AB Title compds. I [R1-R6 = H, OH, amino, etc.; R7, R8 = H, OH, halo, etc.; ring A = aryl, heteroaryl; G = II, etc.; E1 = H, F, OH; E2 = H, F, Me, etc.; Q = alkylene, alkenylene, alkynylene, etc.] were prepared. For example, glycosidation of 8-phenethylnaphthalen-1-ol, e.g., prepared from 8-hydroxynaphthalene-1-carboxaldehyde in 2 steps, with 2,3,4,6-tetra-O-acetyl-1-O-trichloroacetimidoyl- $\alpha$ -D- glucopyranose in the presence of BF<sub>3</sub>·OEt<sub>2</sub> followed by deacetylation using NaOMe afforded 8-phenethylnaphth-1-yl  $\beta$ -D-glycopyranoside (III). In SGLT1 (sodium-dependent glucose transporter 1) inhibition assays, compound III exhibited the IC<sub>50</sub> value of 220 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 17 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 143:367526 MARPAT Full-text  
 TITLE: Preparation of glucopyranose compounds containing naphthalene moiety as SGLT inhibitors  
 INVENTOR(S): Fujikura, Hideki; Fushimi, Nobuhiko; Isaji, Masayuki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095373	A1	20051013	WO 2005-JP6708	20050330
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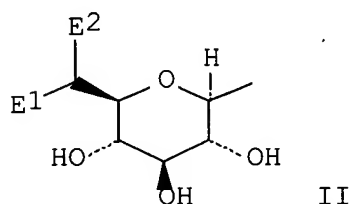
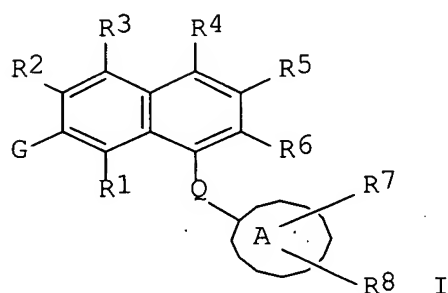
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MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,  
SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US,  
UZ, VC, VN, YU, ZA, ZM, ZW  
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DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,  
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2004-101895 20040331

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AB Title compds. I [R1-R6 = H, OH, amino, etc.; R7, R8 = H, OH, halo, etc.; ring A = aryl, heteroaryl; G = II, etc.; E1 = H, F, OH; E2 = H, F, Me, etc.; Q = alkylene, alkenylene, alkynylene, etc.] were prepared For example, dehydroxylation of 2,3,4,6-tetra-O-benzyl-1-[1-(2-phenylethyl)naphthalen-7-yl]-D-glucopyranose, e.g., prepared from 2-bromonaphthalene in 3 steps, using triethylsilane and BF<sub>3</sub>.OEt<sub>2</sub> followed by treatment with ethanethiol in the presence of BF<sub>3</sub>.OEt<sub>2</sub> afforded 7-(β-D-glucopyranosyl)-1-(2-phenylethyl)naphthalene (III). In SGLT2 (sodium-dependent glucose transporter 2) inhibition assays, the IC<sub>50</sub> value of compound III was 41 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 18 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

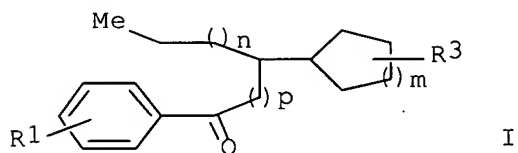
ACCESSION NUMBER: 142:411218 MARPAT Full-text

TITLE: Preparation of pyrovalerone analogs as selective

dopamine transporter inhibitors  
 INVENTOR(S): Madras, Bertha K.; Meltzer, Peter C.; Butler, David  
 PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA; Organix, Inc.  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005034878	A2	20050421	WO 2004-US33349	20041008
WO 2005034878	A3	20050630		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004280256	A1	20050421	AU 2004-280256	20041008
CA 2542077	A1	20050421	CA 2004-2542077	20041008
EP 1670755	A2	20060621	EP 2004-809902	20041008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007508314	T	20070405	JP 2006-534415	20041008
PRIORITY APPLN. INFO.:			US 2003-509882P	20031008
			WO 2004-US33349	20041008

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AB Pyrovalerone analogs, e.g., I [R1 = 1-4 H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, alkoxy, etc.; n = 0-4; m, p = 0-2] are prepared For instance, pyrovalerone•HCl is resolved into enantiomers using D-dibenzoyltartaric acid and L-dibenzoyltartaric acid. (S)-pyrovalerone ((S)-2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one) is potent at the dopamine transporter (IC50 = 3 nM) and at the serotonin transporter



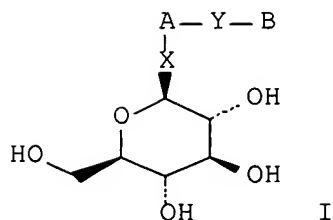
(IC50 > 50  $\mu$ M). Compds. of the invention are useful in the treatment of, e.g., depression.

L18 ANSWER 19 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 142:219491 MARPAT Full-text  
 TITLE: Preparation of glycosides as antidiabetic agents  
 and having inhibitory activity against  
 sodium-dependant transporter  
 INVENTOR(S): Nomura, Sumihiro; Kawanishi, Eiji; Ueta, Kiichiro  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 221 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012326	A1	20050210	WO 2004-JP11312	20040730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004260761	A1	20050210	AU 2004-260761	20040730
CA 2534024	A1	20050210	CA 2004-2534024	20040730
EP 1651658	A1	20060503	EP 2004-771314	20040730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1829729	A	20060906	CN 2004-80022007	20040730
BR 2004013232	A	20061003	BR 2004-13232	20040730
US 2005233988	A1	20051020	US 2005-45446	20050131
NO 2006000220	A	20060502	NO 2006-220	20060116
IN 2006CN00734	A	20070608	IN 2006-CN734	20060228
US 2006217323	A1	20060928	US 2006-446014	20060602
US 2006229260	A1	20061012	US 2006-453728	20060615
US 2006234954	A1	20061019	US 2006-453727	20060615
US 2006293251	A1	20061228	US 2006-453726	20060615
PRIORITY APPLN. INFO.:			US 2003-491534P	20030801
			US 2003-491523P	20030801
			US 2003-519155P	20031112
			US 2003-519209P	20031112
			US 2003-519210P	20031112
			US 2003-519381P	20031112
			US 2004-579722P	20040615

US 2004-579730P	20040615
US 2004-579758P	20040615
US 2004-579792P	20040615
US 2004-903034	20040730
US 2004-903136	20040730
US 2004-903233	20040730
US 2004-903234	20040730
WO 2004-JP11312	20040730

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AB Glycosides I, wherein A and B are: (1) A is unsatd. monocyclic heterocyclic, and B is unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene, (2) A is benzene, and B is unsatd. monocyclic heterocyclic or unsatd. fused hetero-bicyclic, or (3) A is unsatd. fused hetero-bicyclic, and B are independently unsatd. monocyclic heterocyclic, unsatd. fused hetero-bicyclic, or benzene; X is a carbon atom or a nitrogen atom; Y is  $-(CH_2)_n-$  (n is 1 or 2); a pharmaceutically acceptable salt thereof, or a prodrug thereof. A method is claimed for treating or delaying the progression or onset of diabetes mellitus, diabetic retinopathy, diabetic neuropathy, diabetic nephropathy, delayed wound healing, insulin resistance, hyperglycemia, hyper-insulinemia, elevated blood levels of fatty acids, elevated blood levels of glycerol, hyperlipidemia, obesity, hypertriglyceridemia, Syndrome X, diabetic complications, atherosclerosis, or hypertension. The pharmaceutical compns. may be orally administered to mammalian species including human beings, apes, dogs, etc., for example, in the dosage form of tablet, capsule, granule or powder, or parenterally administered in the form of injection preparation, or intra-nasally, or in the form of tranucleosideermal patch. Thus, 1-( $\beta$ -D-glucopyranosyl)-4-chloro- 3-(6-ethyl-benzo[b]thiophen-2-yl-methyl)benzene was prepared as antidiabetic agent and having inhibitory activity against sodium-dependent transporter.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 20 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 142:219490 MARPAT Full-text  
 TITLE: Preparation of substituted fused heterocyclic C-glycosides for the treatment or prophylaxis of diabetes and Syndrome X

10/735179

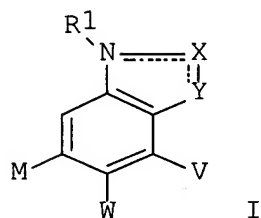
INVENTOR(S): Rybczynski, Philip; Urbanski, Maud; Zhang, Xiaoyan  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Tanabe Seiyaku  
 Co., Ltd  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012318	A2	20050210	WO 2004-US24625	20040730
WO 2005012318	A3	20051215		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004261660	A1	20050210	AU 2004-261660	20040730
CA 2549015	A1	20050210	CA 2004-2549015	20040730
US 2005037980	A1	20050217	US 2004-903136	20040730
US 7094763	B2	20060822		
EP 1679965	A2	20060719	EP 2004-779628	20040730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
IN 2006KN01594	A	20070504	IN 2006-KN1594	20060301
IN 2006KN01595	A	20070504	IN 2006-KN1595	20060301
US 2006217323	A1	20060928	US 2006-446014	20060602
US 2006229260	A1	20061012	US 2006-453728	20060615
US 2006234954	A1	20061019	US 2006-453727	20060615
US 2006293251	A1	20061228	US 2006-453726	20060615
PRIORITY APPLN. INFO.:				
			US 2003-491523P	20030801
			US 2003-491534P	20030801
			US 2003-519210P	20031112
			US 2004-579730P	20040615
			US 2003-519155P	20031112
			US 2003-519209P	20031112
			US 2003-519381P	20031112
			US 2004-579722P	20040615
			US 2004-579758P	20040615
			US 2004-579792P	20040615
			US 2004-903034	20040730
			US 2004-903136	20040730
			US 2004-903233	20040730
			US 2004-903234	20040730

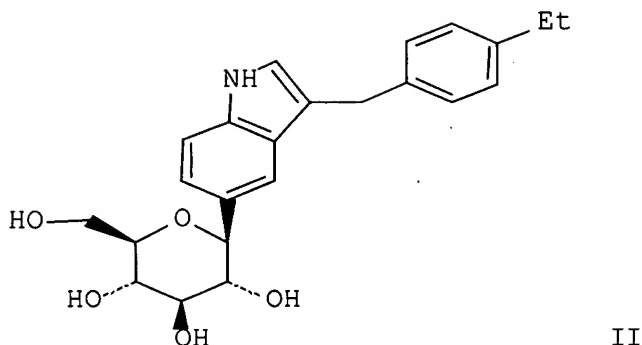
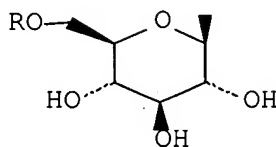
OTHER SOURCE(S):

CASREACT 142:219490

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AB This invention relates to substituted fused heterocyclic C-glycosides I, wherein R<sub>1</sub> is H, alkyl; or, where the dashed line between NR and X is present, R<sub>1</sub> is absent; X is N, C=O, CH, or C-Q-Z; Y is N-Q-Z or C-Q-Z, where X is N, C=O, or CH; Y is CH, where X is C-Q-Z; Q = -(CH)<sub>n</sub> where n = 1 or 2; Z is cycloalkyl, Ph, a 5- or 6-membered heteroaryl having 1 or 2 heteroatoms independently selected from N, O, and S, a biaryl, a 9- or 10-membered fused bicycyl, and a fused heterobicycyl, wherein said fused heterobicycyl has between 1 and 4 heteroatoms independently selected from N, O, S, were prepared for the treatment or prophylaxis of diabetes and Syndrome X. Thus, glycoside II was prepared and tested in mice for the treatment or prophylaxis of diabetes and Syndrome X. The diabetes or Syndrome X, or associated symptoms or complications thereof is selected from IDDM, NIDDM, IGT, IFG, obesity, nephropathy, neuropathy, retinopathy, atherosclerosis, polycystic ovarian syndrome, hypertension, ischemia, stroke, heart disease, irritable bowel disorder, inflammation, and cataracts.

L18 ANSWER 21 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

142:36557 MARPAT Full-text

TITLE:

Sterol markers as diagnostic tools in the prevention of atherosclerotic diseases and as tools to aid in the selection of agents to be used for the prevention and treatment of atherosclerotic disease

INVENTOR(S):

Assmann, Gerd; Erbey, John R., II

PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: PCT Int. Appl., 100 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004107958	A2	20041216	WO 2004-US17200	20040528
WO 2004107958	A3	20050217		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004259179 A1 20041223 US 2004-856911 20040528

PRIORITY APPLN. INFO.:

US 2003-474438P 20030530

US 2004-551178P 20040308

US 2004-559170P 20040402

AB The present invention relates to methods for characterizing an individual's risk profile of developing a future cardiovascular disorder by measuring the level of sterols obtained from a individual. The present invention also includes methods of evaluating the likelihood of whether an individual will benefit from treatment with an agent such as a sterol absorption inhibitor for reducing risk of a future cardiovascular event, such as atherosclerosis, myocardial infarction and stroke.

L18 ANSWER 22 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:296242 MARPAT Full-text

TITLE: Preparation of C-glycoside derivatives and salts thereof as Na<sup>+</sup>-glucose co-transporter inhibitor

INVENTOR(S): Imamura, Masakazu; Murakami, Takeshi; Shiraki, Ryota; Ikegai, Kazuhiro; Sugane, Takashi; Iwasaki, Fumiyoshi; Kurosaki, Eiji; Tomiyama, Hiroshi; Noda, Atsushi; Kitta, Kayoko; Kobayashi, Yoshinori

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan; Kotobuki Pharmaceutical Co. Ltd.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

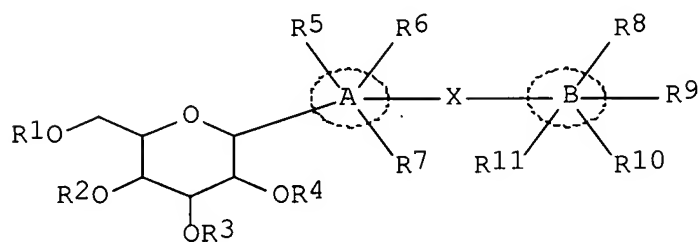
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

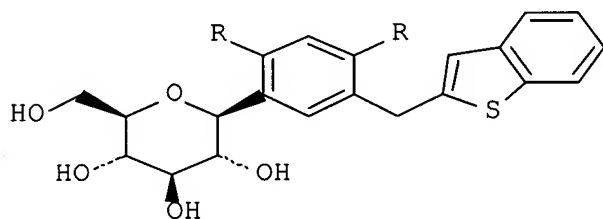
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004080990	A1	20040923	WO 2004-JP3324	20040312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220222	A1	20040923	AU 2004-220222	20040312
CA 2526145	A1	20040923	CA 2004-2526145	20040312
EP 1609785	A1	20051228	EP 2004-720254	20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008140	A	20060301	BR 2004-8140	20040312
CN 1802366	A	20060712	CN 2004-80006761	20040312
US 2006122126	A1	20060608	US 2005-541615	20050707
US 7202350	B2	20070410		
NO 2005004713	A	20051214	NO 2005-4713	20051013
PRIORITY APPLN. INFO.:			JP 2003-70297	20030314
			WO 2004-JP3324	20040312

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I



II

AB C-glycoside derivs. represented by the following general formula (I) or salts thereof [wherein ring A = benzene, 5- or 6-membered monocyclic heteroaryl ring containing 1-4 heteroatoms selected from N, S, and O, or (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O; ring B = (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 5- to 6-membered heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 8- to 10-membered carbocyclic ring, or benzene ring; X = a bond, lower alkylene; R1-R4 = H, lower alkyl, lower alkylcarbonyl, lower alkylene-aryl; R5=R11 = H, lower alkyl, cycloalkyl, halo, halo-lower alkyl, OH, oxo, NH2, lower alkylsulfonyl, halo-lower alkylsulfonyl, arylsulfonyl, aryl, (un)saturated 5- or 6-membered monocyclic heterocyclyl containing 1-4 heteroatoms selected from N, S, and O, hydroxy-lower alkyl, lower alkoxy-lower alkyl, etc.] are prepared. These C-glycosides, more specifically C-glucosides, are useful as Na<sup>+</sup>-glucose cotransporter inhibitors in remedies for, e.g., diabetes, in particular, insulin-independent diabetes (type 2 diabetes) and insulin-dependent diabetes (type 1 diabetes), as well as remedies for insulin resistance diseases and various diseases relating to diabetes including obesity. Thus, lithiation of benzo[b]thiophene with BuLi/hexane in THF at -78° for 2 h, addition reaction with 3-(2,3,4,6-tetra-O-benzyl-β-D-glucopyranosyl)benzaldehyde for 5 h, reduction with triethylsilane in the presence of BF<sub>3</sub>·OEt<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> for 2 h under ice-cooling, and finally debenzylation with BBr<sub>3</sub>/heptane in CH<sub>2</sub>Cl<sub>2</sub> at -78° for 90 min gave (1S)-1,5-anhydro-2,3,4,6-tetra-O-benzyl-1- [3-(1-benzothiophen-2-ylmethyl)phenyl]-D-glucitol (II; R = H). II (R = OMe) showed IC<sub>50</sub> of 3.8 nM for inhibiting the uptake of Me α-D-(U-14C)glucopyranoside in CHO cells stably expressing human Na<sup>+</sup>-glucose transporter (SGLT2).

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 23 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:123854 MARPAT Full-text  
 TITLE: Preparation of D-glucose derivatives as human SGLT2 inhibitors  
 INVENTOR(S): Fujikura, Hideki; Nishimura, Toshihiro; Katsuno, Kenji; Isaji, Masayuki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004058790	A1	20040715	WO 2003-JP16310	20031219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR,				

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KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,  
MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,  
SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,  
YU, ZA, ZM, ZW

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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,  
DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

CA 2509835	A1	20040715	CA 2003-2509835	20031219
AU 2003289440	A1	20040722	AU 2003-289440	20031219
EP 1577317	A1	20050921	EP 2003-780923	20031219

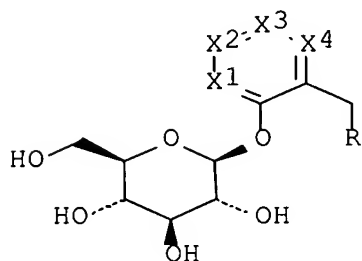
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,  
PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2006035840	A1	20060216	US 2005-540519	20050623
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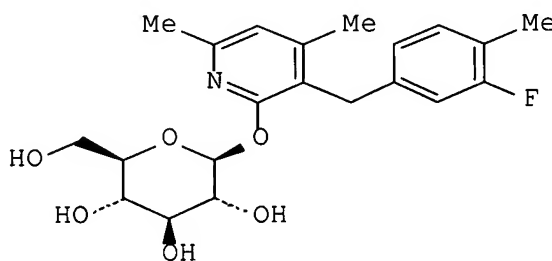
PRIORITY APPLN. INFO.:

JP 2002-374016	20021225
WO 2003-JP16310	20031219

GI



I



II

AB The title compds. I [wherein X1-X4 = independently N, (un)substituted CH, etc.; R ≠ 4-Z-Ph; Z = H, halo, (un)substituted alkyl, alkoxy, etc.] or pharmaceutically acceptable salts or prodrugs thereof are prepared as human SGLT2 activity inhibitors. For example, the compound II was prepared in a four-step synthesis. II inhibited human SGLT2 with IC50 of 3 nM. I are useful as a preventive or a remedy for diseases caused by hyperglycemia such as diabetes, diabetic complications, and obesity (no data).

L18 ANSWER 24 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:16272 MARPAT Full-text

TITLE:

Preparation of porphyrin derivatives and conjugates as photosensitizers in photodynamic therapy

INVENTOR(S):

Yahioğlu, Gokhan; Ibanez Garcia, Delisa

PATENT ASSIGNEE(S):

Photobiotics Limited, UK

SOURCE:

PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

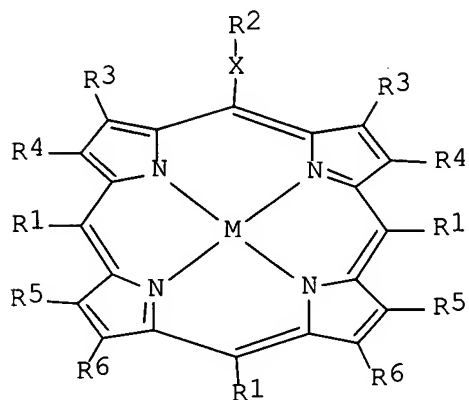
1



## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046151	A2	20040603	WO 2003-GB5128	20031121
WO 2004046151	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003290216	A1	20040615	AU 2003-290216	20031121
EP 1562951	A2	20050817	EP 2003-782579	20031121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006293249	A1	20061228	US 2005-134955	20050523
PRIORITY APPLN. INFO.:			GB 2002-27259	20021121
			WO 2003-GB5128	20031121

GI



AB The present invention relates to organoalkyltris(organoethynyl)porphyrins and their metal complexes I [R1 is independently -C.tplbond.C-W (W = aryl, alkyl or heteroaryl group, each of which may be optionally substituted by one or more of OH, halo, isothiocyanate group, haloacetamide, maleimide, COOH, NO<sub>2</sub>, NH<sub>2</sub>, alkyl, haloalkyl, alkoxy, (CO)<sub>n</sub>(O)mZ (Z is silicon-containing protecting group), polyethylene glycol group, alkyl sulfonate group, alkyl-COOH, (un)substituted benzyl, or sugar derivative); R2 = H, halo, isothiocyanate group, haloacetamide, maleimide, various (un)substituted Y-aryl or Y-heteroaryl groups, where Y is O, S, NH, C(O) or CO<sub>2</sub>; X = C1-20

alkylene group, optionally substituted by one or more substituents selected from halo, NO<sub>2</sub>, CN, OH, OMe, NH<sub>2</sub>, CF<sub>3</sub>, COOH and CONH<sub>2</sub>; each R<sub>3</sub>-R<sub>6</sub> is dependently H, alkyl, alkoxy, halo or OH; M = 2H, metal]. The invention also relates to certain alkyl- or (organoalkyl)porphyrin intermediates used in the preparation of the organoethynyl-substituted porphyrin derivs. and a process for the preparation of compds. I is claimed. Conjugates of I or of the intermediates with a targeting moiety are claimed, including conjugates with a recombinant antibody, Fab fragment, F(ab')<sub>2</sub> fragment, single chain Fv, diabody, disulfide-linked Fv, single antibody domain and CDR (complimentary determining region), or polypeptide carrier comprising at least one  $\alpha$  helix attached to a plurality of the porphyrins. The porphyrin derivs. or conjugates may be used as photosensitizers in medicine (medical imaging, photodynamic therapy, or treating a proliferative disorder such as cancer).

L18 ANSWER 25 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:57898 MARPAT Full-text  
 TITLE: Isoflavonoid conjugates, compositions thereof and therapeutic methods involving same  
 INVENTOR(S): Heaton, Andrew; Kelly, Graham Edmund  
 PATENT ASSIGNEE(S): Novogen Research Pty. Ltd., Australia  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003051864	A1	20030626	WO 2002-AU1722	20021219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2002350275	A1	20030630	AU 2002-350275	20021219
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PRIORITY APPLN. INFO.:		AU 2001-9570	20011219
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		WO 2002-AU1722	20021219
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AB The invention relates to compds., formulations, drinks, foodstuffs, methods and therapeutic uses involving, containing, comprising, including and/or for preparing isoflavone conjugate compds. and analogs thereof. More preferably the invention relates to sulfoconjugates and glucoconjugates of isoflavonoids, medicaments involving same and therapeutic uses thereof. Dihydroxy-substituted isoflavone dehydroequol was treated with sulfur trioxide to afford

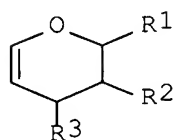
dehydroequeol-di-O-sulfate. Therapeutic formulations were prepared containing isoflavonoid conjugates.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

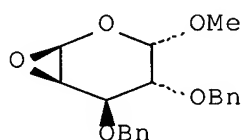
L18 ANSWER 26 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 139:53247 MARPAT Full-text  
 TITLE: Preparation, stereoselective epoxidation and nucleophilic ring cleavage of glycosides, 4-deoxypentenoides, dihydropyrans, and tetrahydropyrans  
 INVENTOR(S): Wei, Alexander; Boulineau, Fabien P.  
 PATENT ASSIGNEE(S): Purdue Research Foundation, USA  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051830	A2	20030626	WO 2002-US39824	20021213
WO 2003051830	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002361656	A1	20030630	AU 2002-361656	20021213
US 2003181402	A1	20030925	US 2002-319335	20021213
PRIORITY APPLN. INFO.:			US 2001-340302P	20011214
			WO 2002-US39824	20021213

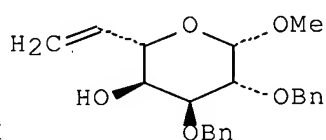
OTHER SOURCE(S): CASREACT 139:53247  
 GI



I



II



III

AB Stereoselective epoxidn. of glycals I, wherein R1-R3 are independently H, substituted or unsubstituted saturated or unsatd. hydrocarbon, amine, ether, silyl, phosphane, phosphite, sulfide, sulfone, sulfoxide, carboxy, acyl, azide, cyanide, thiocyanate, halogen, followed by nucleophilic epoxide ring cleavage gave the corresponding glycosides. Novel, enantiopure, substituted 4-deoxypentenoides (4-DPs) and related dihydropyrans (DHPs) are prepared from common carbohydrates via a novel process. The 4-DPs and related DHPs are amenable to a broad range of stereoselective transformations and are used as synthetic intermediates to prepare a variety of enantiopure tetrahydropyrans (THPs), including rare or exotic sugars and other complex THPs of com. or medicinal value. In one embodiment of the instant invention, 4-DPs are converted to L-sugars in a novel process that offers distinct advantages over known synthetic methods. Thus, epoxide II was prepared by stereoselective epoxidn. of the corresponding glycal I [R1 = OMe( $\alpha$ ), R2 = OBn( $\alpha$ ), R3 = OBn]. Glycoside III was prepared by stereoselective nucleophilic ring cleavage of II in 78% yield.

L18 ANSWER 27 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:36736 MARPAT Full-text

TITLE: Preparation of C-aryl glucoside as antidiabetic agents and SGLT2 inhibitors

INVENTOR(S): Washburn, William N.; Ellsworth, Bruce; Meng, Wei; Wu, Gang; Sher, Philip M.

PATENT ASSIGNEE(S): Bristol Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont. of U.S. Ser. No. 805,341, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2003114390	A1	20030619	US 2002-264410	20021004
US 6936590	B2	20050830		
PRIORITY APPLN. INFO.:			US 2001-805341	20010313

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Sodium-dependent glucose transporters found in the intestine and kidney (SGLT2) inhibit C-aryl glucoside compds. I where R1, R2, and R2a are independently hydrogen, OH, OR5, lower alkyl, CF3, OCHF2, OCF3, SR5i or halogen, or two of R1, R2 and R2a together with the carbons to which they are attached can form an annelated 5-, 6-, or 7-membered carbocycle or heterocycle; R3 and R4 are independently hydrogen, OH, OR5a, O-aryl, OCH2Aryl, lower alkyl, cycloalkyl, CF3, -

OCHF2, -OCF3, halogen, -CN, -CO2R5b, -CO2H, -COR6b, -CH(OH)R6c, -CH(OR5h)R6d, -CONR6R6a, -NHCOR5c, -NHSO2R5d, -NHSO2Aryl, Aryl, -SR5e, -SOR5f, SO2R5g, SO2Aryl, or a 5-, 6-, or 7-membered heterocycle, or R3 and R4 together with the carbons to which they are attached form an annelated 5-, 6-, or 7-membered carbocycle or heterocycle; R5, R5a, R5b, R5c, R5d, R5e, R5f, R5g, R5h, and R5I are independently lower alkyl; R6, R6a, R6b, R6c and R6d are independently hydrogen, alkyl, aryl, alkylaryl or cycloalkyl, or R6 and R6a together with the nitrogen to which they are attached form an annelated 5-, 6-, or 7-membered heterocycle; A is O, S, NH, or (CH2)*n* where *n* is 0-3. A method is also provided for treating diabetes and related diseases employing an SGLT2-inhibiting amount of the above compound alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, II was prepared as an antidiabetic agent other than an SGLT2 inhibitor, an agent for treating the complications of diabetes, an antiobesity agent, an antihypertensive agent, an antiplatelet agent, an antiatherosclerotic agent, and/or a lipid-lowering agent (no data).

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 28 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:397888 MARPAT Full-text

TITLE: Oligonucleotides containing  $\alpha$ -L-ribonucleosides, their synthesis and use in diagnosis and therapy

INVENTOR(S): Wengel, Jesper

PATENT ASSIGNEE(S): Exiqon A/S, Den.

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039523	A2	20030515	WO 2002-IB5080	20021105
WO 2003039523	A3	20031204		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002351077	A1	20030519	AU 2002-351077	20021105
PRIORITY APPLN. INFO.:			DK 2001-1640	20011105
			US 2001-337447P	20011105
			WO 2002-IB5080	20021105

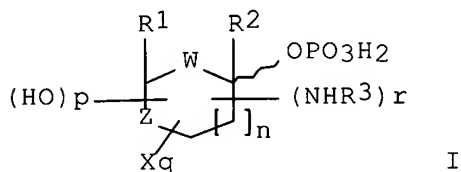
AB The invention relates to novel  $\alpha$ -L-RNA monomers, which, when incorporated into an oligonucleotide impair a higher tendency towards hybridization with a RNA complement, as compared to a DNA complement. The invention also relates to a process for the preparation of an  $\alpha$ -L-RNA modified oligonucleotide and an intermediate for manufacturing the same. The novel oligonucleotides are useful for a variety of therapeutic, diagnostic, and general mol. biol. applications. Thus, oligonucleotides comprising  $\alpha$ -L-RNA monomers sometimes exhibited lower hybridization tendencies with DNA than with RNA. The hybridization efficiency may be increased by incorporating LNA monomers into the oligonucleotide. Introduction of  $\alpha$ -L-RNA monomers in oligonucleotides increased their resistance to nucleases.

L18 ANSWER 29 OF 45 .MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:39496 MARPAT Full-text  
 TITLE: Drying of sugar 1-phosphate salts and storage of their crystals and their solutions  
 INVENTOR(S): Matsuba, Yasuko; Ishibashi, Hiroki; Nagahara, Kiyoteru  
 PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2002371091	A	20021226	JP 2001-179655	20010614
PRIORITY APPLN. INFO.:			JP 2001-179655	20010614

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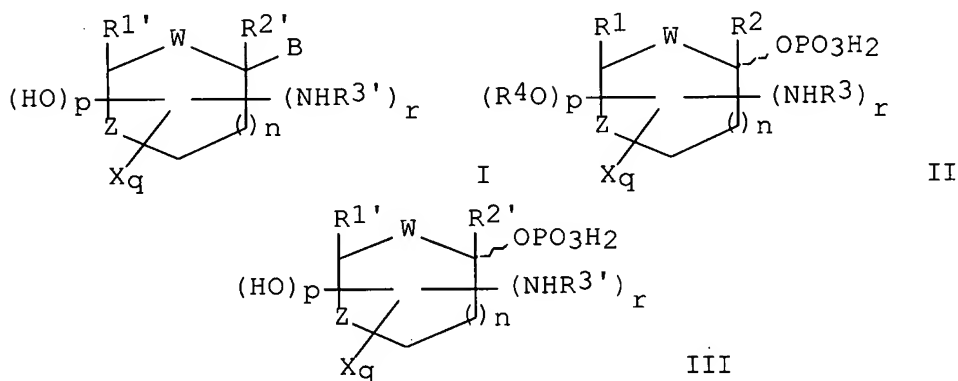


AB Salts of sugar 1-phosphates I [R1, R2 = H, Me, CH2OH, CO2H; R3 = H, acyl, sulfonyl; X = halo, alkoxy, alkylthio; W = O, S; Z = O, S, (un)substituted C; n, r = 0, 1; p, q = 0-3' if Z = O or S, then p + r ≤ n + 1, q ≤ 2 + (n + 1) - 2 + (p + r); if Z = C, then p + r ≤ n + 2, q ≤ 2 + (n + 2) - 2 + (p + r)], useful as materials for manufacture of drugs and nutritious foods, are dried under conditions where pH of aqueous solution of the drying crystal is ≥7.5. Salts of I are stored in the crystal form at ≤30°. Solns. of I are stored at pH ≥9. Degradation of I during storage is prevented by keeping basicity of I

upon salt formation. Wet crystal of 2-deoxy- $\alpha$ -D-ribose-1-phosphate ammonium salt (preparation given) was vacuum-dried at  $\leq 50^\circ$  for 1 h to show content 101.0% and pH of 2% aqueous solution of the dried crystal was 7.7.

L18 ANSWER 30 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 137:309602 MARPAT Full-text  
TITLE: Industrial manufacture of nucleosides  
INVENTOR(S): Matsuba, Yasuko; Ishibashi, Hiroki; Nagahara,  
Kiyoteru  
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002302498	A	20021018	JP 2001-104777	20010403
PRIORITY APPLN. INFO.:			JP 2001-104777	20010403
GI				



AB Nucleosides I [B = base selected from (substituted) pyrimidine, (substituted) purine, (substituted) azapurine, and (substituted) deazapurine; R1', R2' = H, Me, hydroxymethyl, carboxyl; R3' = H, acyl, SO2; X = halo, alkoxy, alkylthio; W = O, S; Z = O, S (substituted) C; n, r = 0, 1; p, q = 0-4; when Z is O or S, then  $p + r \leq n + 1$  and  $q \leq 2 + (n + 1) - 2 + (p + r)$ ; when Z is C, then  $p + r \leq n + 2$  and  $q \leq 2 + (n + 2) - 2 + (p + r)$ ], useful as raw materials for pharmaceuticals, are manufactured by deprotection reaction and exchange reaction between phosphate groups and bases from compds. II (R1, R2 = H, Me,

protected hydroxymethyl, protected carboxyl; R3 = acyl, SO2; R4 = protective group for OH; X, W, Z, n, p, q, r = same as above) or their salts without isolation of compds. III (R1'-R3', X, W, Z, n, p, q, r = same as above) or their salts as crystals. 3,5-O-bis(4-chlorobenzoyl)-2-deoxy-D-ribose 1-phosphate (preparation given) was stirred with aqueous KOH at 60° for 11 h, the reaction mixture was cooled to 5°, filtered, and the filtrate containing 2-deoxyribose 1-phosphate was adjusted to pH 8.5 and treated with adenine in the presence of an enzyme preparation of purine nucleoside phosphorylase-producing Escherichia coli transformant MT-10905 at 30° for 24 h to give 2'-deoxyadenosine in 91.4% yield (based on adenine).

L18 ANSWER 31 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 137:228094 MARPAT Full-text

TITLE: Termiticidal baits for eliminating termite colonies

INVENTOR(S): Brode, Philip Frederick, III; Garrett, Garry Steven; Laughlin, Leo Timothy; Matthews, Randall Stryker; Barker, Dale Edwin; Kinne, Daniel James; Miller, Christopher Miles; Probst, Timothy Robert; McKibben, Gary Eugene

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069704	A2	20020912	WO 2002-US6200	20020301
WO 2002069704	A3	20021114		
WO 2002069704	A8	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002172658	A1	20021121	US 2001-799184	20010305
US 6716421	B2	20040406		
AU 2002248518	A1	20020919	AU 2002-248518	20020301
US 2003017187	A1	20030123	US 2002-172855	20020617
US 7030156	B2	20060418		
US 2003124166	A1	20030703	US 2002-173527	20020617
US 6964124	B2	20051115		
US 2003124164	A1	20030703	US 2002-268356	20021010
US 6969512	B2	20051129		



WO 2003105580 A1 20031224 WO 2003-US17713 20030605  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2003106395 A1 20031224 WO 2003-US17714 20030605  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003237401 A1 20031231 AU 2003-237401 20030605  
 AU 2003243404 A1 20031231 AU 2003-243404 20030605  
 WO 2004032625 A2 20040422 WO 2003-US32092 20031007  
 WO 2004032625 A3 20040910

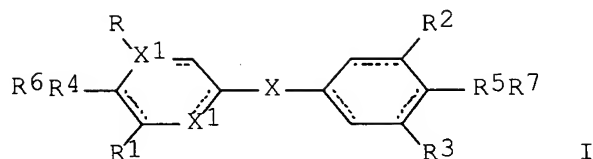
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003279221 A1 20040504 AU 2003-279221 20031007  
 US 2004170661 A1 20040902 US 2004-770195 20040202  
 US 7157078 B2 20070102

PRIORITY APPLN. INFO.:

US 2001-799184 20010305  
 WO 2002-US6200 20020301  
 US 2002-172855 20020617  
 US 2002-173527 20020617  
 US 2002-268356 20021010  
 WO 2003-US17713 20030605  
 WO 2003-US17714 20030605  
 WO 2003-US32092 20031007

GI



AB This invention relates to devices, kits, and methods for eliminating termite colonies. The kits, devices, and methods employ a termiticidal bait matrix contain (a) a termiticide (I, X = nil, a hydrocarbon group, O or NR<sub>8</sub>,R<sub>9</sub> where R<sub>8</sub> and R<sub>9</sub> are H or a hydrocarbon group; X<sub>1</sub> = CH, a carbon atom or a heteroatom; R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> = H or OH and if R<sub>4</sub> and R<sub>5</sub> are O and R<sub>6</sub> and R<sub>7</sub> are H then R, R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> may be C<sub>1</sub>-6; R<sub>4</sub> and R<sub>5</sub> are H, O or N; R<sub>9</sub> and R<sub>10</sub> are nil, C<sub>1</sub>-6, and amides) selected such that the termiticide causes death to about 50 to about 100% of termites within about 24 to about 84 days after the termites begin to ingest the termiticide or the bait matrix comprising the termiticide, (b) a cellulose containing material, and (c) water. The termiticidal bait matrix can be used in a bait station installed in the ground. The kits are suitable to be used by consumers in their homes.

L18 ANSWER 32 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 137:79229 MARPAT Full-text

TITLE: Preparation of cytostatic glycoconjugates having specifically cleavable peptidic linking units

INVENTOR(S): Lerchen, Hans-Georg; Baumgarten, Joerg; Lockhoff, Oswald

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1219634	A1	20020703	EP 2000-128402	20001227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002051862	A2	20020704	WO 2001-EP14868	20011217
WO 2002051862	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,				

CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,  
SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

AU 2002240841 A1 20020708 AU 2002-240841 20011217  
US 2002173452 A1 20021121 US 2001-26237 20011221

PRIORITY APPLN. INFO.:

EP 2000-128402 20001227  
WO 2001-EP14868 20011217

AB The invention relates to cytostatic glycoconjugates CT-LI-Sp1-Sp2-K (CT denotes a cytotoxic radical or a radical of a cytostatic or a cytostatic derivative which can addnl: carry a hydroxy, carboxy or amino group; LI is a linker group comprising 5- to 8-amino acid residues in the D- or L-configuration, which can each optionally carry protective groups; Sp1 is absent or a carbonyl or thiocarbonyl radical; Sp2 is an optionally substituted arylene or alkylene radical; K is an unsubstituted or regioselectively modified carbohydrate radical) and their physiol. acceptable salts, hydrates and stereoisomers. These glycoconjugates have a tumor-specific action as a result of linkage to specific carbohydrate moieties via preferred linking units which can be selectively cleaved by enzymes such as metallomatrix proteases (MMPs), elastase or cathepsins, i.e., by enzymes which can especially be found in tumor tissue. The preferred linking units guarantee sufficient serum stability of the conjugate of cytostatic and carbohydrate moiety and, at the same time, the desired intracellular action within tumor cells as a result of its specific enzymic or hydrolytic cleavability with release of the cytostatic. Thus, p-ROC6H4NHC(S)-Pro-Leu-Gly-His-Val-OR1 (R 6-deoxy-3-O-methyl- $\beta$ -L-galactopyranosyl, R10 = camptothecin residue) (1) was prepared by reaction of 20(S)-camptothecin with N-(tert-butoxycarbonyl)-L-valine-N-carboxyanhydride, deprotection, peptide coupling reactions, and reaction with the carbohydrate ligand. Compound 1 was assayed for cytostatic action on human large intestine cell line HT29 (IC50 = 70 nM).

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 33 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 136:340938 MARPAT Full-text

TITLE: Preparation of antimicrobial 2-deoxystreptamine compounds

INVENTOR(S): Swayze, Eric; Griffey, Richard H.; Ding, Yili; Mohan, Venkatraman

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Ser. No. 452,606.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

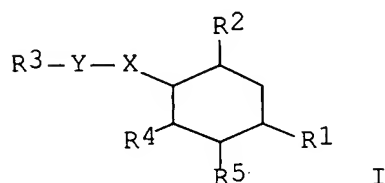
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2002052526	A1	20020502	US 2000-727315	20001130

US 6759523 B2 20040706  
 US 6541456 B1 20030401  
 US 2003109461 A1 20030612  
 US 6967242 B2 20051122

US 1999-452606 19991201  
 US 2002-299220 20021119

PRIORITY APPLN. INFO.:  
 GI

US 1999-452606 19991201



AB The present invention is directed to analogs of amino glycosides I wherein, R1 and R2, are independently amino or protected amino; X is O, S, NH or CH<sub>2</sub>; Y is a bond or a divalent linking group; R3 is aryl, heteroaryl, substituted aryl or substituted heteroaryl; and one of R4 and R5 is hydroxyl or protected hydroxyl, sugar moiety, of the class having a glycosylated 2-deoxystreptamine (2-DOS) ring as well as their preparation and use as prophylactic or therapeutics against microbial infection. Comps. of the invention comprises at least one aryl, heteroaryl, substituted aryl or substituted heteroaryl group in place of a glycosyl group attached to the 2-deoxystreptamine ring. Thus, 2-deoxy-6-O-(3-nitrobenzyl)-4-O-[3-O-(2,6-Diamino-2,6-dideoxy-β-L-idopyranosyl)-β-D-ribofuranosyl]-streptamine was prepared from neomycin sulfate and tested in vivo on mice male and in vitro as antibacterial agent (MIC ≤ 100 μM).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 34 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 135:5766 MARPAT Full-text

TITLE: Preparation of antimicrobial 2-deoxystreptamine compounds

INVENTOR(S): Swayze, Eric; Griffey, Richard; Ding, Yili; Mohan, Venkatraman

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/735179

WO 2001039726 A2 20010607 WO 2000-US42367 20001130  
 WO 2001039726 A3 20020103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,  
 CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ,  
 UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6541456 B1 20030401 US 1999-452606 19991201

AU 2001045084 A5 20010612 AU 2001-45084 20001130

US 2003109461 A1 20030612 US 2002-299220 20021119

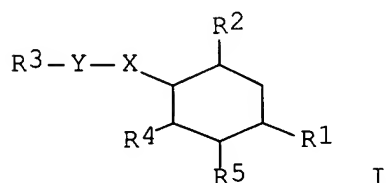
US 6967242 B2 20051122

PRIORITY APPLN. INFO.:

US 1999-452606 19991201

WO 2000-US42367 20001130

GI



AB The present invention is directed to analogs of amino glycosides I wherein, R1 and R2, are independently amino or protected amino; X is O, S, NH or CH<sub>2</sub>; Y is a bond or a divalent linking group; R3 is aryl, heteroaryl, substituted aryl or substituted heteroaryl; and one of R4 and R5 is hydroxyl or protected hydroxyl, sugar moiety, of the class having a glycosylated 2-deoxystreptamine (2-DOS) ring as well as their preparation and use as prophylactic or therapeutics against microbial infection. Comps. of the invention comprises at least one aryl, heteroaryl, substituted aryl or substituted heteroaryl group in place of a glycosyl group attached to the 2-deoxystreptamine ring. Thus, 2-deoxy-6-O-(3-nitrobenzyl)-4-O-[3-O-(2,6-Diamino-2,6-dideoxy-β-L-idopyranosyl)-β-D-ribofuranosyl]-streptamine was prepared from neomycin sulfate and tested in vivo on mice male and in vitro as antibacterial agent (MIC ≤ 100 μM).

L18 ANSWER 35 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 133:38239 MARPAT Full-text

TITLE: Inhibition of carbohydrate metabolism by quinone compounds, preparation thereof, and therapeutic use

INVENTOR(S): Hecht, Sidney M.; Locke, Edward

PATENT ASSIGNEE(S): The University of Virginia Patent Foundation, USA

SOURCE: U.S., 22 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6075057	A	20000613	US 1997-831744	19970401
PRIORITY APPLN. INFO.:			US 1996-14682P	19960401

AB Optically pure enantiomers of avarol are obtained. The enantiomers of avarol are demonstrated to be highly effective inhibitors of  $\alpha$ -glucosidase and  $\alpha$ -mannosidase. Other enzymes assayed were not inhibited by these optically pure compds. Inhibition of these two enzymes is useful for a variety of assays and probes, and offers particular utility in the treatment of retroviral infection-associated syndromes, such as AIDS. A method for effecting antitumor chemotherapy is also provided.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 36 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 129:343328 MARPAT Full-text

TITLE: Preparation of new benzyl- and (phenylethyl)amine derivatives as medicaments

INVENTOR(S): Anderskewitz, Ralf; Schromm, Kurt; Renth, Ernst-Otto; Birke, Franz; Jennewein, Hans Michael; Meade, Christopher John Montague

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

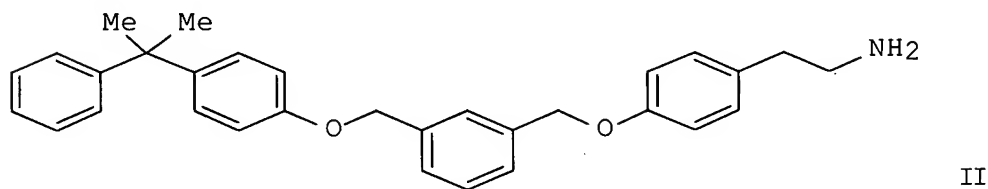
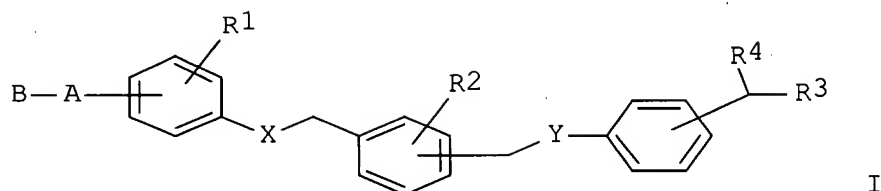
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849131	A1	19981105	WO 1998-EP2530	19980429
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1204315	A	19990106	CN 1996-198959	19961211
DE 19718334	A1	19981105	DE 1997-19718334	19970430
ZA 9803523	A	19981030	ZA 1998-3523	19980428
CA 2287991	A1	19981105	CA 1998-2287991	19980429
AU 9877600	A	19981124	AU 1998-77600	19980429
EP 980351	A1	20000223	EP 1998-925500	19980429
EP 980351	B1	20040218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001524966	T	20011204	JP 1998-546609	19980429

10/735179

AT 259777	T	20040315	AT 1998-925500	19980429
PT 980351	T	20040730	PT 1998-925500	19980429
ES 2214711	T3	20040916	ES 1998-925500	19980429
MX 9909960	A	20000630	MX 1999-9960	19991028
US 6288277	B1	20010911	US 2000-423160	20000403

PRIORITY APPLN. INFO.: DE 1997-19718334 19970430  
WO 1998-EP2530 19980429

GI



AB The title compds. [I; X, Y = O, NH, NMe<sub>2</sub>, CH<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, OH, F, Cl, Br, iodo, C<sub>1</sub>-6 alkyl, O(C<sub>1</sub>-6 alkyl), CF<sub>3</sub>; R<sub>3</sub> = H, NH<sub>2</sub>, NHCOR<sub>5</sub>; R<sub>4</sub> = H, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>NHCOR<sub>5</sub>; R<sub>5</sub> = H, C<sub>1</sub>-6 alkyl, (un)substituted Ph, O(C<sub>1</sub>-6 alkyl); A = CR<sub>6</sub>R<sub>7</sub>, CO, SO<sub>x</sub>, O; R<sub>6</sub> = H, C<sub>1</sub>-4 alkyl, CF<sub>3</sub>, etc.; R<sub>7</sub> = H, C<sub>1</sub>-4 alkyl, etc.; B = C<sub>1</sub>-6 alkyl, Ph, naphthyl, thienyl, pyridyl, etc.; x = 0-2; with provisos] and their optical isomers, mixts. of enantiomers, racemates and salts with pharmaceutically acceptable acids, LTB<sub>4</sub> antagonists useful for the therapy of arthritis, asthma, chronic lung diseases, , psoriasis, cystic fibrosis, Alzheimer's disease, etc., were prepared For example, dissolving 1.15 g 4-(H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>OH in 15 mL MeOH, adding 1.5 g NaOMe (30% solution in MeOH), evaporating the mixture, adding the residue to a solution of 2.93 g 3-[4-(2-phenylpropyl)phenoxy]methyl benzyl chloride in 25 mL MeCN, stirring the whole for 3 h at 60-70°, evaporating the solvents and treating the residue with alc. HCl gave 1 g II-HCl (m. 145°). Approx. 34 I were prepared and K<sub>i</sub> values for approx. 32 I varying between 0.5 and 263 nM were given.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 37 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 129:149185 MARPAT Full-text

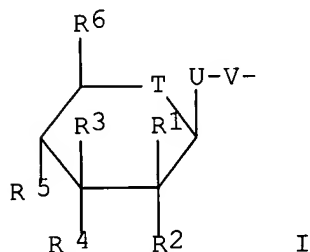
TITLE: Preparation of glycosides and thioglycosides as drug carriers for nephrotropic drugs

INVENTOR(S): Suzuki, Kokichi; Ito, Teruomi; Ando, Takashi; Toma, Kazumori; Susaki, Hiroshi; Okuno, Satoshi;

Watanabe, Hiroshi  
 PATENT ASSIGNEE(S): Drug Delivery System Institute, Ltd., Japan; Meiji  
 Seika Kaisha, Ltd.; Asahi Kasei Kogyo K. K.  
 SOURCE: PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831392	A1	19980723	WO 1997-JP3642	19971009
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 953357	A1	19991103	EP 1997-944099	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			JP 1997-19714	19970117
			WO 1997-JP3642	19971009

GI



AB Nephrotropic drugs and drug carriers delivering drugs carried thereon specifically to the kidney with the use of partial structures specifically recognized in the kidney. Since partial structures represented by the general formula of glycosyl such as glucosyl, mannosyl or 2-deoxyglucosyl derivative [I; T = O, S, NH; one of R1 and R2 = H and the other = OH or F; one of R3 and R4 = H and the other = OH; R5 = OH, F; R6 = H, CH<sub>2</sub>OH; U = O, S, NH; V = (un)substituted C<sub>6</sub>-18 aromatic hydrocarbyl, linear or branched C<sub>1</sub>-18 aliphatic hydrocarbyl] are nephrotropic, objective drugs can be obtained by introducing mols. with these structures into drugs. Compds. having such a partial structure together with another partial structure enabling the carriage of drugs are usable as carriers capable of delivering the drugs carried thereon specifically to the kidney. Thus, 9-(1-thio- $\beta$ -glucopyranosyl)nonanoic acid was stirred with DCC in DMF for 30 min and then condensed with doxorubicin at room temperature overnight to give N-[9-(1-thio- $\beta$ -glucopyranosyl)nonanoyl]doxorubi cin (II). II was injected into rats to show kidney clearance of II 1.31 $\pm$ 0.04 mL/min/g



and kidney concentration of II  $5.8 \pm 0.3\%$  of dose/g vs.  $0.54 \pm 0.10$  mL/min/g and  $1.9 \pm 0.2\%$  of dose/g, resp., for the doxorubicin.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 38 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 129:41376 MARPAT Full-text

TITLE: Preparation of sugar-substituted 2-azetidinones useful as hypocholesterolemic agents

INVENTOR(S): Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.; Vaccaro, Wayne D.

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 18 pp.

CODEN: USXXAM

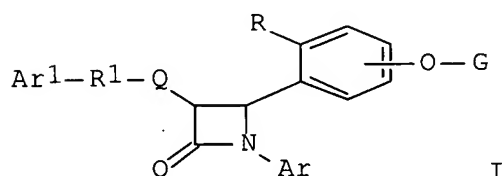
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5756470	A	19980526	US 1996-741179	19961029
CN 1205707	A	19990120	CN 1996-199226	19961029
CN 1103780	B	20030326		
PRIORITY APPLN. INFO.:			US 1996-741179	19961029
GI				



AB Hypocholesterolemic sugar-substituted 2-azetidinones I ( $R = H, OH$ , sugar;  $R_1 = \text{alkylene, cycloalkylene, phenylene, alkenylene}$ ;  $G = \text{sugar residue}$ ;  $Q = \text{bond, spiro group}$ ;  $Ar, Ar_1 = \text{aryl}$ ), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidinyl]phenyl]- $\beta$ -D-glucuronic acid was prepared as anticholesteremic agent 58 % reduction in plasma cholesterol with 3 mg/kg dose in hamsters.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

## RE FORMAT

L18 ANSWER 39 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 126:156482 MARPAT Full-text  
 TITLE: Method of producing derivatives of  
 $\beta$ -D-glucosyl-1,4-N-acetyl- $\beta$ -D-glucose  
 INVENTOR(S): Nilsson, Kurt G. I.  
 PATENT ASSIGNEE(S): Bioflexin Ab, Swed.; Nilsson, Kurt G. I.  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703206	A1	19970130	WO 1995-IB561	19950713
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9528060	A	19970210	AU 1995-28060	19950713
EP 839210	A1	19980506	EP 1995-923524	19950713
EP 839210	B1	20001011		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE				
AT 196928	T	20001015	AT 1995-923524	19950713
US 6077695	A	20000620	US 1998-981715	19980616
PRIORITY APPLN. INFO.:			WO 1995-IB561	19950713

OTHER SOURCE(S): CASREACT 126:156482

AB Disclosed is a method of producing a compound which contains the Glc $\beta$ 1-4GlcN structure involving reacting  $\geq 1$  donor substance Glc $\beta$ R where R is an organic group, and  $\geq 1$  acceptor substance which is a glucopyranosamino derivative having the formula GlcNR''-R''', wherein NR'' is an azido, 2-N-acetyl-, 2-N-phthalimido, or an organic group bound to the 2-N-group of glucosamine, wherein R''' is a glycosidically bound fluoro or is an O-, C-, N- or S-glycosidically bound aliphatic or aromatic compound, with the optional proviso that if NR'' is NHAc then R''' is not OH and if NR'' is not NHAc then R''' may be OH, in the presence of Bullera singularis or an enzyme commission (E.C.) group 3.2 glycosidase of essentially the same structure as an E.C. group 3.2 glucosidase obtained from B. singularis to form the Glc $\beta$ 1-4GlcN derivative; and optionally isolating the compound which contains the Glc $\beta$ 1-4GlcN structure.

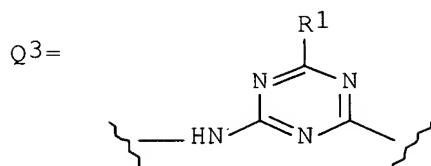
L18 ANSWER 40 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 126:31574 MARPAT Full-text  
 TITLE: Preparation of carbohydrate-modified cytostatic agents.  
 INVENTOR(S): Lerchen, Hans-Georg; von dem Bruch, Karsten;

10/735179

PATENT ASSIGNEE(S): Petersen, Uwe; Baumgarten, Joerg; Piel, Norbert;  
 SOURCE: Antonicek, Horst; Weichel, Walter; Sperzel,  
 Michael; Bremm, Klaus Dieter  
 DOCUMENT TYPE: Bayer A.-G., Germany  
 LANGUAGE: Ger. Offen., 106 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19512484	A1	19961017	DE 1995-19512484	19950404
CA 2217164	A1	19961010	CA 1996-2217164	19960322
WO 9631532	A1	19961010	WO 1996-EP1279	19960322
W: AU, BB, BG, BR, BY, CA, CN, CZ, EE, HU, IS, JP, KE, KP, KR, KZ, LK, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653976	A	19961023	AU 1996-53976	19960322
AU 713466	B2	19991202		
EP 819135	A1	19980121	EP 1996-910926	19960322
EP 819135	B1	19991117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1185786	A	19980624	CN 1996-194180	19960322
HU 9800513	A2	19980629	HU 1998-513	19960322
BR 9604825	A	19990105	BR 1996-4825	19960322
JP 11502860	T	19990309	JP 1996-529934	19960322
AT 186738	T	19991215	AT 1996-910926	19960322
ES 2140078	T3	20000216	ES 1996-910926	19960322
RU 2170234	C2	20010710	RU 1997-118421	19960322
SK 281785	B6	20010710	SK 1997-1345	19960322
EE 3619	B1	20020215	EE 1997-258	19960322
PL 183574	B1	20020628	PL 1996-322681	19960322
CZ 291098	B6	20021211	CZ 1997-3143	19960322
TW 384290	B	20000311	TW 1996-85111956	19961001
ZA 9608274	A	19970520	ZA 1996-8274	19961002
BG 63048	B1	20010228	BG 1997-101892	19970916
US 6271342	B1	20010807	US 1997-930546	19970925
NO 9704564	A	19971125	NO 1997-4564	19971002
GR 3032605	T3	20000531	GR 2000-400304	20000209
IN 2000DE00535	A	20050311	IN 2000-DE535	20000525
PRIORITY APPLN. INFO.:			DE 1995-19512484	19950404
			WO 1996-EP1279	19960322

GI



AB KQ1Q2A1A2R [K = (regioselectively modified) carbohydrate residue; Q1 = (substituted) arylene, alkylene; Q2 = HNC(:S), Q3; A1, A2 = D- or D-amino acid residue, bond; R = residue of a cytostatic agent; R1 = Cl, hydroxyalkylamino], were prepared Thus, N-[N $\alpha$ ,N $\epsilon$ - bis[O-(3-O-methyl- $\beta$ -D-fucosyl)-4-hydroxyphenylaminothiocarbonyl]- D-lysyl]quinolone A (preparation given) at 100 mg/kg in mice implanted with B 16 F 10 tumor cells gave a 35-day survival rate of 90%, vs. 10% for controls.

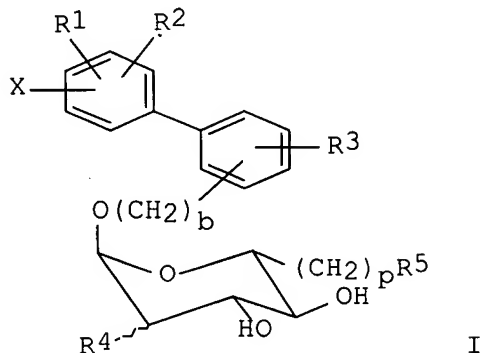
L18 ANSWER 41 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 124:56563 MARPAT Full-text  
 TITLE: Preparation of biphenyl monosaccharide glycosides as inhibitor of binding of E-selectin or P-selectin to sialyl Lewisx or sialyl-Lewis a  
 INVENTOR(S): Kogan, Timothy P.; Dupre, Brian; Scott, Ian L.; Keller, Karin; Dao, Huong; Beck, Pamela J.  
 PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA  
 SOURCE: U.S., 23 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5444050	A	19950822	US 1994-235293	19940429
CA 2189013	A1	19951109	CA 1995-2189013	19950428
WO 9529682	A1	19951109	WO 1995-US5463	19950428
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9524329	A	19951129	AU 1995-24329	19950428
AU 691920	B2	19980528		
EP 758243	A1	19970219	EP 1995-918365	19950428
EP 758243	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1151117	A	19970604	CN 1995-193539	19950428
BR 9507561	A	19970805	BR 1995-7561	19950428
JP 09512560	T	19971216	JP 1995-528493	19950428

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AT 234102	T	20030315	AT 1995-918365	19950428
NO 9604566	A	19961230	NO 1996-4566	19961028
TW 457246	B	20011001	TW 1996-85115658	19961219
PRIORITY APPLN. INFO.:			US 1994-235293	19940429
			WO 1995-US5463	19950428
OTHER SOURCE(S):		CASREACT 124:56563		
GI				



AB The title compds. [I; X = (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H, O(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>H, CONH(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>H, CH(OZ)CO<sub>2</sub>H, CH(Z)CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>n</sub>SO<sub>3</sub>H, (CH<sub>2</sub>)<sub>n</sub>PO<sub>3</sub>D<sub>1</sub>D<sub>2</sub>, NH(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>H, CONH(CHR<sub>6</sub>)CO<sub>2</sub>H, 1-H-tetrazolyl-5-alkyl, OH; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, halo, OZ, NO<sub>2</sub>, NH<sub>2</sub>, NHZ; R<sub>3</sub> = H, halo, alkyl, OZ, NHZ; R<sub>4</sub> = H, halo, alkyl, OH, hydroxyl-O-sulfate, OZ; R<sub>5</sub> = HO, cyano, N<sub>3</sub>, NH<sub>2</sub>, NHNH<sub>2</sub>, NE<sub>1</sub>E<sub>2</sub>, NHE<sub>1</sub>, NHCO(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H, S(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>H, NHCHNHNH<sub>2</sub>; R<sub>6</sub> = H, alkyl, aralkyl, hydroxyalkyl, aminoalkyl, alkyl, carboxylic acid, alkyl carboxamide; wherein n = 0-6; m = 1-6; p = 0-6; b = 0-2; Z = alkyl, aryl or aralkyl; D<sub>1</sub>, D<sub>2</sub> = H, alkyl; E<sub>1</sub> = alkyl, (CH<sub>2</sub>)<sub>8</sub>CO<sub>2</sub>H; E<sub>2</sub> = alkyl] and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof are prepared. This invention also relates to methods of inhibiting the binding of E-selectin and/or P-selectin to sialyl-Lewis<sup>x</sup> or sialyl-Lewis<sup>a</sup> presented on a cell surface using said compds. and to pharmaceutically active compns. comprising compds. that inhibit the binding of E-selectin to sialyl-Lewis<sup>x</sup> and to methods of treatment of septic shock, adult respiratory distress syndrome (ARDS), Crohn's disease, chronic inflammatory diseases, such as psoriasis and rheumatoid arthritis, and reperfusion injuries that occur following heart attacks, strokes and organ transplants (no data). Thus,.

L18 ANSWER 42 OF 45 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 123:196752 MARPAT Full-text  
 TITLE: Method of producing derivatives of lactosamine  
 INVENTOR(S): Nilsson, Kurt  
 PATENT ASSIGNEE(S): Glycorex AB, Swed.  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518864	A1	19950713	WO 1995-SE10	19950109
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9514298	A	19950801	AU 1995-14298	19950109
EP 733119	A1	19960925	EP 1995-905840	19950109
R: AT, CH, DE, ES, FR, GB, IT, LI, SE				
CN 1138351	A	19961218	CN 1995-191167	19950109
CN 1117872	B	20030813		
JP 09510694	T	19971028	JP 1995-518435	19950109
RU 2135585	C1	19990827	RU 1996-115931	19950109
US 6653109	B1	20031125	US 1996-666542	19960628
US 2004162424	A1	20040819	US 2003-679194	20031003
PRIORITY APPLN. INFO.:			SE 1994-34	19940106
			WO 1995-SE10	19950109
			US 1996-666542	19960628

OTHER SOURCE(S): CASREACT 123:196752

AB Disclosed is a method of producing compds. with  $\beta$ 1-4 linkage which contains the lactosamine structure involving reacting  $\geq 1$  donor substance Gal $\beta$ OR where R is an organic group, and  $\geq 1$  acceptor substance which is a glucopyranosamino derivative having the formula GlcNR'-R'', wherein NR' is an azido, 2-N-acetyl-, 2-N-phthalimido, or an organic group bound to the 2-N group of glucosamine, wherein R'' is a glycosidically bound F- or is an O-, C-, N-, or S- glycosidically bound aliphatic or aromatic compound, with the proviso that if NR' is NHAc then R'' is not OH and if NR' is not NHAc then R'' may be OH, in the presence of Bullera singularis or an E.C. group 3.2 glycosidase of essentially the same structure as an E.C. group 3.2 glycosidase obtained from B. singularis to form the lactosamine derivative; and optionally isolating the compound with  $\beta$ 1-4 linkage which contains the lactosamine structure.

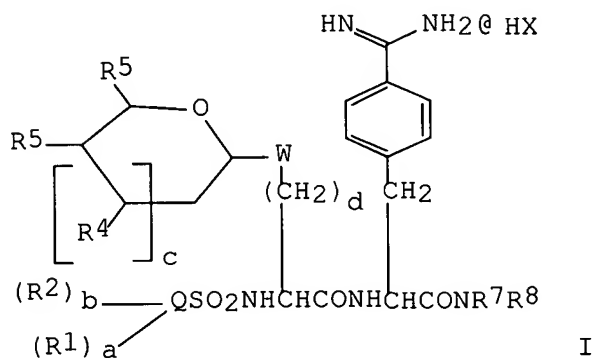
L18 ANSWER 43 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 120:107754 MARPAT Full-text  
 TITLE: Preparation of glycopeptides as antithrombotics  
 INVENTOR(S): Kolar, Cenek; Stueber, Werner  
 PATENT ASSIGNEE(S): Behringwerke Aktiengesellschaft, Germany  
 SOURCE: Eur. Pat. Appl., 19 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 558961	A2	19930908	EP 1993-102048	19930210
EP 558961	A3	19940914		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4206858	A1	19930909	DE 1992-4206858	19920305
CN 1077961	A	19931103	CN 1993-101528	19930215
IL 104931	A	19961031	IL 1993-104931	19930303
CA 2091024	A1	19930906	CA 1993-2091024	19930304
NO 9300796	A	19930906	NO 1993-796	19930304
AU 9333950	A	19930909	AU 1993-33950	19930304
AU 658267	B2	19950406		
ZA 9301538	A	19930927	ZA 1993-1538	19930304
JP 06025291	A	19940201	JP 1993-43128	19930304
US 5556941	A	19960917	US 1994-291729	19940816
PRIORITY APPLN. INFO.:			DE 1992-4206858	19920305
			US 1993-25798	19930303

GI



AB The title compds. [I; Q = benzene, naphthalene, chroman, chromene, or coumarone residue; a = 1-5; b = 0-4; c = 0,1; d = 1,2; R1 = H, alkyl; R2 = H, alkyl, alkoxy; R3 = H, OH, alkoxy, NH2, alkanoylamino, PhCONH, HO3SNH, acylamino, natural N-acetylated amino acid residue; R4 = H, OH, alkoxy; R5 = H, OH, alkoxy, F, Cl, Br; R6 = H, Me, CH2OH, alkanoyloxymethyl, CH2NJCOMe, CH2NHSO3H; or R5R6 = OCH2OCH2, OCHMeOCH2, OCM2OCH2; R7 = hydroxyalkyl, alkoxyalkyl; R8 = H, alkyl; or NR7R8 = (substituted) pyrrolidinyl, piperidinyl, morpholinyl; W = O, CONH, C6H4CONH; HX = HCl, alkanolic acid, physiol. acceptable (in)organic acid], were prepared. Thus, 2-N-(4-methoxy-2,3,6-trimethylbenzenesulfonyl)-asparaginyl-4-amidino-D-phenylalanine piperidide hydrochloride was condensed with  $\beta$ -D-galactopyranosylamine using hydroxylbenzotriazole/DCC in DMF/CH2Cl2 to give 2-N-(4-methoxy-2,3,6-trimethylbenzenesulfonyl)-4-N-( $\beta$ -D-galactopyranosyl)asparaginyl-4-amidino-D-phenylalanine piperidide

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hydrochloride. This inhibited thrombin and trypsin with  $K_i = 0.04$  and 375 nM, resp.

L18 ANSWER 44 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 116:54613 MARPAT Full-text  
TITLE: Use of 1-aryl semicarbazides for stabilization of enzyme substrates  
INVENTOR(S): Mangold, Dieter  
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany  
SOURCE: Eur. Pat. Appl., 24 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 433853	A1	19910626	EP 1990-123834	19901211
EP 433853	B1	19950816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3942356	A1	19910627	DE 1989-3942356	19891221
ES 2077624	T3	19951201	ES 1990-123834	19901211
JP 06217796	A	19940809	JP 1990-413423	19901221
JP 07071516	B	19950802		
US 5391482	A	19950221	US 1990-633213	19901221
			DE 1989-3942356	19891221

PRIORITY APPLN. INFO.:

AB Aryl-substituted 1-arylsemicarbazides are used as stabilizing agents for enzyme reactions that generate a colored compound from a colorless reaction product with an oxidizing agent. These compds. are particularly suited for use when the test substrate is a N-substituted 4-amino phenol. The synthesis of chromogenic substrates containing (4-hydroxyphenyl)-(pyrazolo-[1,5-a]-pyridine-3-yl)amine derivs. as the chromogenic group is described. Test strips containing one such substrate for N-acetyl- $\beta$ -D-glucosaminidase, Ph semicarbazide as stabilizer, citrate buffer, and KI failed to develop a background color after 3 wk at 45° although strips lacking the semicarbazide showed some color development.

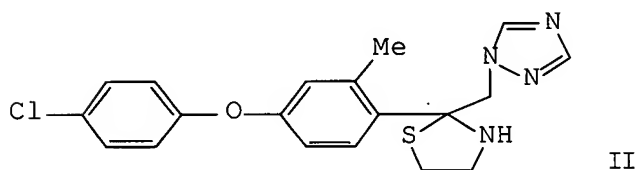
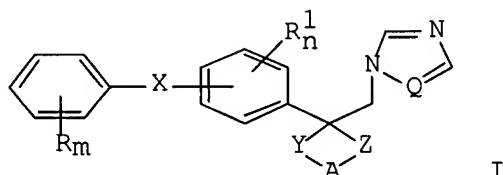
L18 ANSWER 45 OF 45 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 115:256188 MARPAT Full-text  
TITLE: Preparation of azolylmethyl(phenoxyphenyl)thiazolidine, -dithiane, and analogs as agrochemical microbicides  
INVENTOR(S): Riebli, Peter; Hubele, Adolf  
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
SOURCE: Eur. Pat. Appl., 34 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:



PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 443980	A1	19910828	EP 1991-810032	19910116
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
PRIORITY APPLN. INFO.:			CH 1990-241	19900125

GI



AB Title compds. [I; R, R1 = halo, (halo)alkyl, (halo)alkoxy, NO2, cyano; Q = N, CH; X, Y = O, S; Z = S, NH, alkylimino, (substituted) methylene; A = (substituted) CH2CH2, CH2CH2CH2; m = 0-5; n = 0-4], were prepared. Thus, title compound II was prepared by refluxing a mixture of the corresponding ketone with HSCH2CH2NH2.HCl and Et3N in PhMe/BuOH with removal of H2O. Several I as 0.02% sprays gave 95-100% control of *Cercospora arachidicola* on peanut plants.

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L19 495 S "FRICK W"?/AU  
L20 230 S "GLOMBIK H"?/AU  
L21 3486 S "KRAMER W"?/AU  
L22 1249 S "HEUER H"?/AU  
L23 26 S "BRUMMERHOP H"?/AU  
L24 57 S "PLETTENBURG O"?/AU  
L25 8 S L19 AND L20 AND L21 AND L22 AND L23 AND L24  
L26 89 S L19 AND (L20 OR L21 OR L22 OR L23 OR L24)  
L27 154 S L20 AND (L21 OR L22 OR L23 OR L24)  
L28 45 S L21 AND (L22 OR L23 OR L24),  
L29 12 S L22 AND (L23 OR L24)  
L30 10 S L23 AND L24  
L31 44 S (L19-L24 OR L26-L28) AND (FLUOROGLYCOSIDE OR GLYCOSIDE)  
L32 3 S L31 AND AROMAT?  
L33 6 SEA ABB=ON PLU=ON (L19-L24 OR L26-L28) AND (FLUOROGLYCOSIDE  
OR (F OR FLUORIN? OR FLUORO)(5A) GLYCOSIDE)  
L34 14 SEA ABB=ON PLU=ON L25 OR L29 OR L30 OR L32 OR L33  
L35 9 DUP REM L34 (5 DUPLICATES REMOVED)

L35 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2006:605879 HCAPLUS Full-text  
DOCUMENT NUMBER: 145:76671  
TITLE: Substituted cyclopropane dicarboxylates for  
producing drugs for use in the treatment of  
metabolic syndrome  
INVENTOR(S): Kadereit, Dieter; Stengelin, Siegfried;  
Heuer, Hubert; Brummerhop, Harm  
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany  
SOURCE: PCT Int. Appl., 50 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006063681	A1	20060622	WO 2005-EP12763	20051130
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,			
	CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,			
	GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,			
	KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG,			
	MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,			
	RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT,			
	TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

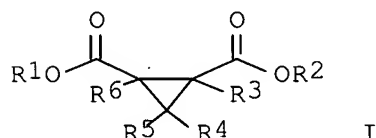
10/735179

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

DE 102004060041 A1 20060629 DE 2004-102004060041 20041214  
PRIORITY APPLN. INFO.: DE 2004-102004060041A 20041214

DE 2005-102005039245A 20050819

OTHER SOURCE(S): MARPAT 145:76671  
GI



AB The invention discloses the use of substituted cyclopropane dicarboxylates I [R1 = H, C1-20 alkyl, aryl, etc.; R2 = C1-20 alkyl, C2-20 alkenyl, etc.; R3-R6 = H, OH, ], and physiol. acceptable salts thereof, for producing drugs for use in the treatment of metabolic syndrome. Compound preparation is included.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR  
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RE FORMAT

L35 ANSWER 2 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2007:13824 BIOSIS Full-text

DOCUMENT NUMBER: PREV200700017545

TITLE: Thiophene glycoside derivatives, processes for the  
preparation, medicaments comprising these compounds,  
and the use thereof.

AUTHOR(S): Anonymous; Glombik, Heiner [Inventor];  
Frick, Wendelin [Inventor]; Heuer,  
Hubert [Inventor]; Kramer, Werner  
[Inventor]; Brummerhop, Harm [Inventor];  
Plettenburg, Oliver [Inventor]

CORPORATE SOURCE: Hofheim, Germany  
ASSIGNEE: sanofi aventis Deutschland GmbH

PATENT INFORMATION: US 07101856 20060905

SOURCE: Official Gazette of the United States Patent and  
Trademark Office Patents, (SEP 5 2006)  
CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 20 Dec 2006

Last Updated on STN: 20 Dec 2006

AB Novel thiophene glycoside derivatives of the formula I: in which the radicals have the stated meanings, and the physiologically tolerated salts thereof and processes for their preparation are disclosed. The compounds are suitable, for example, as antidiabetics.

L35 ANSWER 3 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 2007:71067 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200700069934  
 TITLE: Effects of the SGLT2-inhibitor AVE2268 on urinary glucose excretion (UGE) and blood glucose in mice, rats and dogs.  
 AUTHOR(S): Bickel, M. [Reprint Author]; Brummerhop, H.; Glombik, H.; Frick, W.; Heuer, H.; Plettenburg, O.; Werner, U.; Kramer, W.  
 CORPORATE SOURCE: Sanofi Aventis Pharma Deutschland GmbH, Frankfurt, Germany  
 SOURCE: Diabetologia, (SEP 2006) Vol. 49, No. Suppl. 1, pp. 358-359.  
 Meeting Info.: 42nd Annual Meeting of the European-Association-for-the-Study-of-Diabetes (EASD). Copenhagen, DENMARK. September 14 -17, 2006. European Assoc Study Diabet.  
 CODEN: DBTGAI. ISSN: 0012-186X.  
 DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 24 Jan 2007  
 Last Updated on STN: 24 Jan 2007

L35 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:1328798 HCAPLUS Full-text  
 DOCUMENT NUMBER: 144:51831  
 TITLE: Synthesis of fluoro-glycoside derivs. of pyrazoles for use in treatment of diabetes or for lowering blood sugar levels  
 INVENTOR(S): Brummerhop, Harm; Frick, Wendelin; Glombik, Heiner; Plettenburg, Oliver; Bickel, Martin; Heuer, Hubert; Theis, Stefan  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005121161	A1	20051222	WO 2005-EP5959	20050603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,				

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,  
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,  
MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,  
SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,  
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
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DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,  
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 102004028241	A1	20060105	DE 2004-102004028241	20040611
AU 2005252329	A1	20051222	AU 2005-252329	20050603
CA 2570042	A1	20051222	CA 2005-2570042	20050603
EP 1758914	A1	20070307	EP 2005-746637	20050603

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR,  
AL, BA, HR, LV, MK, YU

CN 1964984	A	20070516	CN 2005-80019067	20050603
NO 2007000176	A	20070309	NO 2007-176	20070110

PRIORITY APPLN. INFO.:

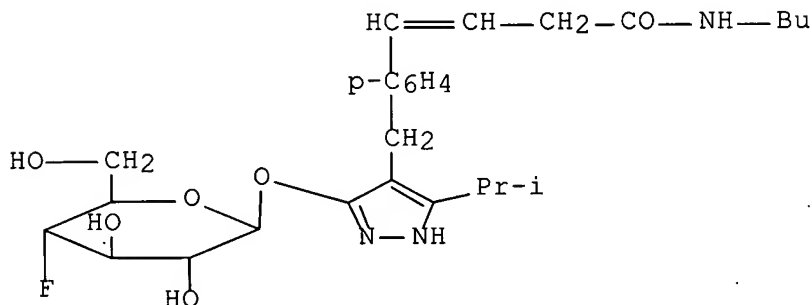
DE 2004-102004028241A 20040611

WO 2005-EP5959

W 20050603

OTHER SOURCE(S):  
GI

MARPAT 144:51831



I

AB The invention relates to substituted fluoro- glycoside derivs. of pyrazoles, e.g. (I), and their physiol. compatible salts, which inhibit Na<sup>+</sup>-dependent glucose transporter 1 (SGLT-1) and to a method for their production. Thus, 1-bromo-4-deoxy-4- fluoro-2,3,6-tri-O-benzoyl-α-D-glucopyranose was prepared from Me 2,3,6-tri-O-benzoyl α-D-galactopyranose in 3 steps, and reacted with 4-(4-bromo-benzyl)-5-isopropylpyraz-3-ol, prepared from Me 4-methyl-3-oxopentanoate in 2 steps, to give the β-linked pyrazole intermediate (II). II was then reacted with 3-butenic acid, followed by a condensation reaction with n-butylamine and deprotection of the sugar oxygens to give I. In in vitro tests using CHO-TREx-hSGLT1 cell line (derivation given), measuring the concentration at which uptake of Me α-D-glucopyranoside was reduced by 50%, I had IC<sub>50</sub> value of 0.043 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L35 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:515522 HCAPLUS Full-text  
DOCUMENT NUMBER: 141:38811  
TITLE: Synthesis of fluoroglycoside  
heterocyclic derivatives for use as antidiabetic  
pharmaceutical products  
INVENTOR(S): Frick, Wendelin; Glombik, Heiner  
; Kramer, Werner; Heuer, Hubert  
; Brummerhop, Harm; Plettenburg,  
Oliver  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
SOURCE: PCT Int. Appl., 73 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052903	A1	20040624	WO 2003-EP13455	20031128
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10258008	A1	20040708	DE 2002-10258008	20021212
DE 10258008	B4	20060202		
CA 2508226	A1	20040624	CA 2003-2508226	20031128
AU 2003289911	A1	20040630	AU 2003-289911	20031128
EP 1572708	A1	20050914	EP 2003-782250	20031128
EP 1572708	B1	20060419		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003017283	A	20051108	BR 2003-17283	20031128
CN 1723214	A	20060118	CN 2003-80105709	20031128
JP 2006510644	T	20060330	JP 2004-557953	20031128
AT 323713	T	20060515	AT 2003-782250	20031128
PT 1572708	T	20060731	PT 2003-782250	20031128
ES 2261993	T3	20061116	ES 2003-3782250	20031128
NZ 540695	A	20070223	NZ 2003-540695	20031128
US 2004259819	A1	20041223	US 2003-734573	20031212
NO 2005003201	A	20050804	NO 2005-3201	20050630

PRIORITY APPLN. INFO.:

DE 2002-10258008

A 20021212

US 2003-466449P

P 20030429

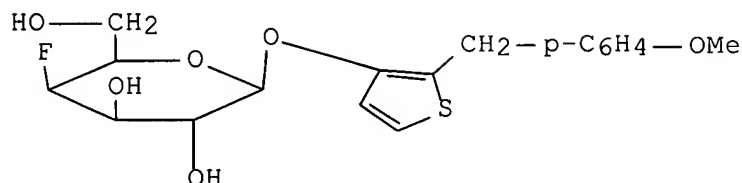
WO 2003-EP13455

W 20031128

OTHER SOURCE(S):

MARPAT 141:38811

GI



I

AB The invention relates to substituted fluoroglycoside heterocyclic derivs., e.g. (I), to their physiol. tolerated salts, and to methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus, 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro- $\alpha$ -D-galactopyranosyl bromide was reacted with (3-hydroxy-2-thienyl)(4-methoxyphenyl)-methanone and the product deacetylated to give I. In in vitro tests measuring the uptake of  $^{14}\text{C}$ -labeled glucose using rabbit gastrointestinal brush-border membrane vesicles, I had  $\text{IC}_{50}$  0.3  $\mu\text{M}$ , compared with 16  $\mu\text{M}$  for Phlorizin control.

L35 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2004:515521 HCAPLUS Full-text

DOCUMENT NUMBER: 141:38810

TITLE: Synthesis of aromatic  
fluoroglycoside derivatives for use as  
antidiabetic agents

INVENTOR(S): Frick, Wendelin; Glombik, Heiner  
; Kramer, Werner; Heuer, Hubert  
; Brummerhop, Harm; Plettenburg,  
Oliver

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052902	A1	20040624	WO 2003-EP13454	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,				
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,				

GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,  
 KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
 MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,  
 SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN,  
 YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,  
 DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
 SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

DE 10258007	A1	20040902	DE 2002-10258007	20021212
DE 10258007	B4	20060209		
CA 2508024	A1	20040624	CA 2003-2508024	20031128
AU 2003298149	A1	20040630	AU 2003-298149	20031128
EP 1572707	A1	20050914	EP 2003-795853	20031128
EP 1572707	B1	20060329		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,  
 PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003016605	A	20051011	BR 2003-16605	20031128
CN 1723212	A	20060118	CN 2003-80105711	20031128
JP 2006510643	T	20060330	JP 2004-557952	20031128
AT 321769	T	20060415	AT 2003-795853	20031128
PT 1572707	T	20060630	PT 2003-795853	20031128
ES 2259777	T3	20061016	ES 2003-3795853	20031128
NZ 540694	A	20070223	NZ 2003-540694	20031128
US 2005014704	A1	20050120	US 2003-735179	20031212
NO 2005003212	A	20050804	NO 2005-3212	20050630

PRIORITY APPLN. INFO.:

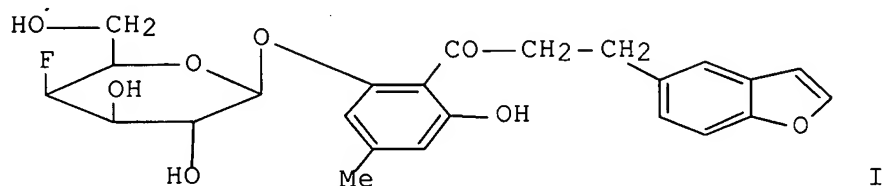
DE 2002-10258007 A 20021212

US 2003-466329P P 20030429

WO 2003-EP13454 W 20031128

OTHER SOURCE(S): MARPAT 141:38810

GI



AB The invention relates to substituted aromatic fluoroglycoside derivs., e.g., (I), to their physiolo. tolerated salts, and methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro-α-D-galactopyranosyl bromide was reacted with 3-benzofuran-5-yl-1-(2,6-dihydroxy-4-methylphenyl)propan-1-one and the product deacetylated to give I. In in vitro tests measuring the uptake of <sup>14</sup>C-labeled glucose



using rabbit brush-border membrane vesicles, I had IC50 0.4  $\mu$ M,  
compared with 16  $\mu$ M for Phlorizin control.

L35 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2004:60527 HCAPLUS Full-text

DOCUMENT NUMBER: 140:111628

TITLE: Synthesis and therapeutic evaluation of thiophene  
glycosides for use in the treatment of diabetes or  
for lowering blood sugar levels

INVENTOR(S): Glombik, Heiner; Frick, Wendelin  
; Heuer, Hubert; Kramer, Werner  
; Brummerhop, Harm; Plettenburg,  
Oliver

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007517	A1	20040122	WO 2003-EP6841	20030627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10231370	A1	20040205	DE 2002-10231370	20020711
DE 10231370	B4	20060406		
CA 2493391	A1	20040122	CA 2003-2493391	20030627
AU 2003246620	A1	20040202	AU 2003-246620	20030627
BR 2003012513	A	20050412	BR 2003-12513	20030627
EP 1523488	A1	20050420	EP 2003-763662	20030627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1668628	A	20050914	CN 2003-816532	20030627
JP 2005536497	T	20051202	JP 2004-520429	20030627
NZ 537583	A	20060831	NZ 2003-537583	20030627
US 2004138143	A1	20040715	US 2003-616945	20030711
US 7101856	B2	20060905		
IN 2004CN03006	A	20060217	IN 2004-CN3006	20041231
NO 2005000649	A	20050401	NO 2005-649	20050207
US 2006293252	A1	20061228	US 2006-464338	20060814
PRIORITY APPLN. INFO.:			DE 2002-10231370	A 20020711

WO 2003-EP6841

W 20030627

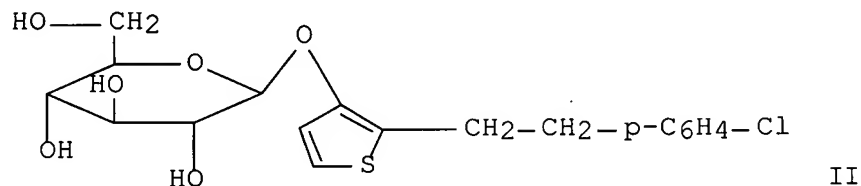
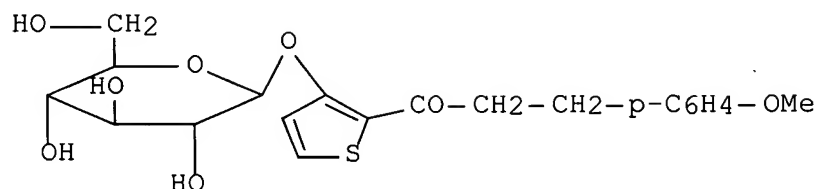
US 2003-616945

A1 20030711

OTHER SOURCE(S):

MARPAT 140:111628

GI



AB Title compds., e.g. (I), and their physiol.-acceptable salts, were prepared and evaluated for use in lowering blood sugar levels and for use as anti-diabetics. Thus, 2-acetyl-3-hydroxythiophene was reacted with tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide and the resulting intermediate O-deprotected to give I. Compound (II) was prepared by similar methods. In in vitro tests measuring the uptake of  $^{14}\text{C}$ -labeled glucose using rabbit, rat, or pig intestinal brush-border membranes, II had  $\text{IC}_{25}$  0.9  $\mu\text{M}$ .

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 8 OF 9 PASCAL COPYRIGHT 2007 INIST-CNRS. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 1991-0235691 PASCAL Full-text  
 TITLE (IN ENGLISH): Synthesis of bergenin-type C-glucosylarenes  
 AUTHOR: FRICK W.; SCHMIDT R. R.  
 CORPORATE SOURCE: Univ. Konstanz, Fak. Chemie, Konstanz 7750, Germany, Federal Republic of  
 SOURCE: Carbohydrate research, (1991), 209, 101-107, 7 refs.  
 ISSN: 0008-6215 CODEN: CRBRAT  
 DOCUMENT TYPE: Journal  
 BIBLIOGRAPHIC LEVEL: Analytic  
 COUNTRY: Netherlands  
 LANGUAGE: English  
 AVAILABILITY: INIST-12339, 354000019311540080

10/735179

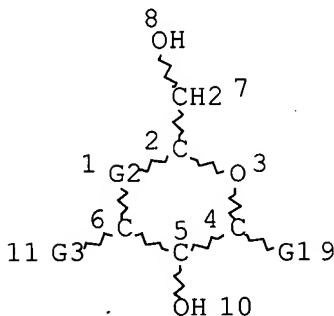
AN 1991-0235691 PASCAL Full-text  
ABFR Synthese de 8,10-di-O-methylbergenine et de son derive 3,4,11-triacetate a partir de 1,2,3-trimethoxybenzene, de (2,3,4,6-tetra-O-benzyl)glucopyranose et d'anhydride d'acide trifluoroacetique

L35 ANSWER 9 OF 9 PASCAL COPYRIGHT 2007 INIST-CNRS. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 1984-0289514 PASCAL Full-text  
TITLE (IN ENGLISH): Polycyclic compounds. XXIII: The diastereoselective synthesis of a racemic furohexenopyranoside and its application to the 1,2-transposition of an acetal oxygen: a new approach to isochromans  
TITLE (IN FRENCH): Composes polycycliques. XXIII: Synthese diastereoselective d'un furohexenopyranoside racemique et application a la transposition 1,2 d'un oxygene acetal: un nouvel acces aux isochromannes  
TITLE (IN GERMAN): Polycyclische Verbindungen. XXIII: Die diastereoselektive Synthese eines racemischen Furohexenopyranosids und seine Verwendung zur 1,2-Transposition eines Acetalsauerstoffs -- ein neuer Weg zu Isochromanen  
AUTHOR: GLOMBIK H.; TOCHTERMANN W.  
CORPORATE SOURCE: Univ. Kiel, inst. organische chemie, Kiel 2300, Germany, Federal Republic of  
SOURCE: Chemische Berichte, (1984), 117(7), 2422-2428, 20 refs.  
ISSN: 0009-2940  
DOCUMENT TYPE: Journal  
BIBLIOGRAPHIC LEVEL: Analytic  
COUNTRY: Germany, Federal Republic of  
LANGUAGE: German  
SUMMARY LANGUAGE: English  
AVAILABILITY: CNRS-4625  
AN 1984-0289514 PASCAL Full-text

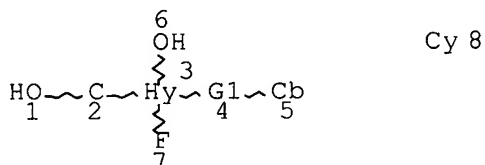
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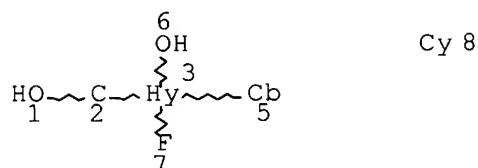
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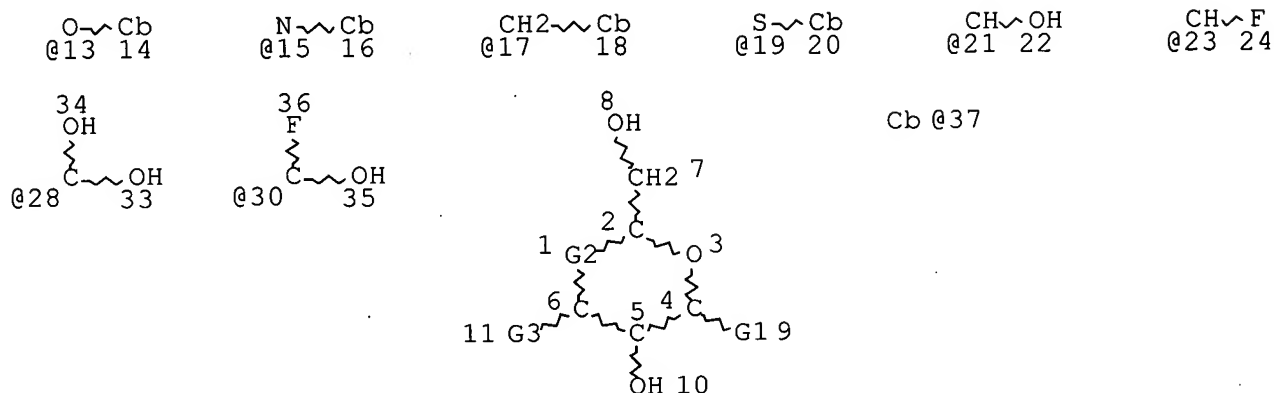
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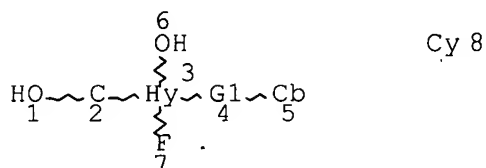
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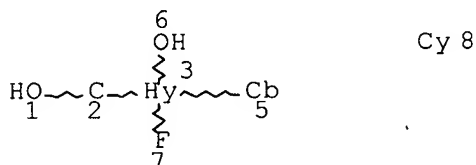
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GGCAT IS PCY AT 8  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE  
L12 STR



NODE ATTRIBUTES:  
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MLEVEL IS CLASS AT 3 5 8  
GGCAT IS MCY UNS AT 5  
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L13 ( 37)SEA FILE=MARPAT SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)

## ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L14 ( 34)SEA FILE=MARPAT SUB=L10 SSS FUL L12 (MODIFIED ATTRIBUTES)  
 L15 46 SEA FILE=MARPAT ABB=ON PLU=ON L13 OR L14

FILE 'REGISTRY' ENTERED AT 14:44:49 ON 18 JUN 2007  
 ACT DAVIS5/A

-----  
 L1 STR  
 L2 ( 12148)SEA SSS FUL L1  
 L3 STR  
 L4 STR  
 L5 3 SEA SUB=L2 SSS FUL (L3 OR L4)  
 -----

FILE 'REGISTRY' ENTERED AT 14:45:05 ON 18 JUN 2007  
 D QUE STAT

FILE 'HCAPLUS' ENTERED AT 14:45:05 ON 18 JUN 2007  
 L6 1 SEA ABB=ON PLU=ON L5  
 D IBIB ABS HITSTR

FILE 'CAOLD' ENTERED AT 14:45:19 ON 18 JUN 2007  
 L7 0 SEA ABB=ON PLU=ON L5

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 14:45:27 ON 18 JUN 2007  
 L8 0 SEA ABB=ON PLU=ON L5

FILE 'MARPAT' ENTERED AT 14:45:33 ON 18 JUN 2007  
 D SAV  
 ACT DAVIS735MB/A

-----  
 L9 STR  
 L10 ( 788)SEA SSS FUL L9 (MODIFIED ATTRIBUTES)  
 L11 STR  
 L12 STR  
 L13 ( 37)SEA SUB=L10 SSS FUL L11 (MODIFIED ATTRIBUTES)  
 L14 ( 34)SEA SUB=L10 SSS FUL L12 (MODIFIED ATTRIBUTES)  
 L15 46 SEA ABB=ON PLU=ON L13 OR L14  
 -----

D QUE STAT

FILE 'HCAPLUS' ENTERED AT 14:46:09 ON 18 JUN 2007  
 L16 46 SEA ABB=ON PLU=ON L15  
 L17 45 SEA ABB=ON PLU=ON L16 NOT L6

FILE 'MARPAT' ENTERED AT 14:46:27 ON 18 JUN 2007  
 L18 45 SEA ABB=ON PLU=ON L17  
 D L18 1-45

FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, WPIX, JAPIO, DISSABS, PASCAL'  
 ENTERED AT 14:48:18 ON 18 JUN 2007

10/735179

L19	495	SEA ABB=ON	PLU=ON	"FRICK W"?/AU
L20	230	SEA ABB=ON	PLU=ON	"GLOMBIK H"?/AU
L21	3486	SEA ABB=ON	PLU=ON	"KRAMER W"?/AU
L22	1249	SEA ABB=ON	PLU=ON	"HEUER H"?/AU
L23	26	SEA ABB=ON	PLU=ON	"BRUMMERHOP H"?/AU
L24	57	SEA ABB=ON	PLU=ON	"PLETTENBURG O"?/AU
L25	8	SEA ABB=ON	PLU=ON	L19 AND L20 AND L21 AND L22 AND L23 AND L24
L26	89	SEA ABB=ON	PLU=ON	L19 AND (L20 OR L21 OR L22 OR L23 OR L24)
L27	154	SEA ABB=ON	PLU=ON	L20 AND (L21 OR L22 OR L23 OR L24)
L28	45	SEA ABB=ON	PLU=ON	L21 AND (L22 OR L23 OR L24)
L29	12	SEA ABB=ON	PLU=ON	L22 AND (L23 OR L24)
L30	10	SEA ABB=ON	PLU=ON	L23 AND L24
L31	44	SEA ABB=ON	PLU=ON	((L19 OR L20 OR L21 OR L22 OR L23 OR L24) OR (L26 OR L27 OR L28)) AND (FLUOROGLYCOSIDE OR GLYCOSIDE)
L32	3	SEA ABB=ON	PLU=ON	L31 AND AROMAT?
L33	6	SEA ABB=ON	PLU=ON	((L19 OR L20 OR L21 OR L22 OR L23 OR L24) OR (L26 OR L27 OR L28)) AND (FLUOROGLYCOSIDE OR (F' OR FLUORIN? OR FLUORO) (5A) GLYCOSIDE)
L34	14	SEA ABB=ON	PLU=ON	L25 OR L29 OR L30 OR L32 OR L33
L35	9	DUP REM L34		(5 DUPLICATES REMOVED) D 1-9 IBIB ABS

FILE 'HOME' ENTERED AT 14:56:15 ON 18 JUN 2007

D QUE L5

D QUE L15

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5  
DICTIONARY FILE UPDATES: 17 JUN 2007 HIGHEST RN 937704-61-5

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

FILE HCAPLUS

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FILE COVERS 1907 - 18 Jun 2007 VOL 146 ISS 26  
FILE LAST UPDATED: 17 Jun 2007 (20070617/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CAOLD  
FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE MEDLINE  
FILE LAST UPDATED: 16 Jun 2007 (20070616/UP). FILE COVERS 1950 TO DA

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS  
FILE COVERS 1926 TO DATE.  
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 13 June 2007 (20070613/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE  
FILE COVERS 1974 TO 18 Jun 2007 (20070618/ED)

10/735179

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification..

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 146 ISS 25 (20070615/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2007100186	03	MAY 2007
DE	102005052275	03	MAY 2007
EP	1784057	09	MAY 2007
JP	2007115699	10	MAY 2007
WO	2007051410	10	MAY 2007
GB	2431654	02	MAY 2007
FR	2892418	27	APR 2007
RU	2298555	10	MAY 2007
CA	2522632	06	APR 2007

Expanded G-group definition display now available.

FILE WPIX

FILE LAST UPDATED: 15 JUN 2007 <20070615/UP>  
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200738 <200738/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> New reloaded DWPI Learn File (LWPI) available as well <<<

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

>>> New display format FRAGHITSTR available <<<

SEE ONLINE NEWS and

[http://www.stn-international.de/archive/stn\\_online\\_news/fraghitstr\\_ex](http://www.stn-international.de/archive/stn_online_news/fraghitstr_ex).

>>> IPC Reform backfile reclassification has been loaded to 31 May 2007. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC and 20060601/UPIC. <<<

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FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE  
<http://scientific.thomson.com/support/patents/coverage/latestupdates/>

PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE

[http://www.stn-international.de/stndatabases/details/ipc\\_reform.html](http://www.stn-international.de/stndatabases/details/ipc_reform.html) a

<http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf>

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PLEASE SEE  
[http://www.stn-international.de/stndatabases/details/dwpi\\_r.html](http://www.stn-international.de/stndatabases/details/dwpi_r.html) <<<

FILE JAPIO  
FILE LAST UPDATED: 27 APR 2007 <20070427/UP>  
FILE COVERS APRIL 1973 TO JANUARY 25, 2007

>>> GRAPHIC IMAGES AVAILABLE <<<

FILE DISSABS  
FILE COVERS 1861 TO 25 MAY 2007 (20070525/ED)

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FILE PASCAL  
FILE LAST UPDATED: 18 JUN 2007 <20070618/UP>  
FILE COVERS 1977 TO DATE.

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